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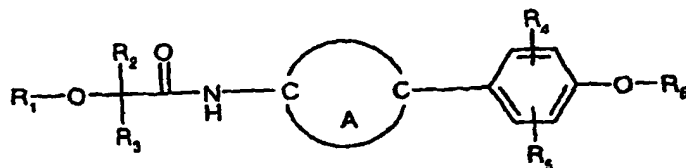
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AMIDES



(1)

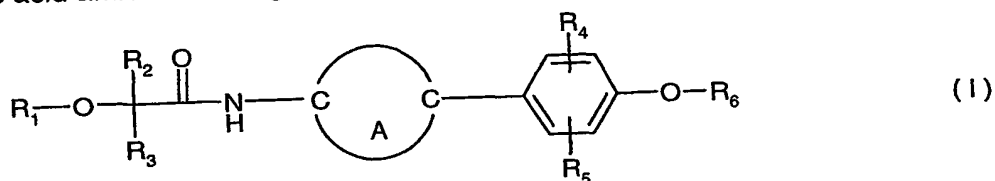
(57) Abstract: The invention relates to N-bisaryl- and N-aryl-cycloalkylidenyl-a-hydroxy- and a-alkoxy acetic acid amides of the general formula (I) including the optical isomers thereof and mixtures of such isomers, wherein R₁ is hydrogen, C₁-C₁₂alkyl; C₂-C₁₂alkenyl; C₂-C₁₂alkynyl; C₁-C₁₂haloalkyl; R₂ is hydrogen; optionally substituted alkyl; optionally substituted alkenyl or optionally substituted alkynyl; R₃ is optionally substituted aryl or optionally substituted heteroaryl; A is an optionally substituted saturated or unsaturated C₃-C₈-cycloalkylidene, optionally substituted phenylidene or optionally substituted saturated or unsaturated heterocyclylidene bridge, R₄ and R₅ are each independently hydrogen or an organic radical, and R₆ is hydrogen; tri-C₁-C₄alkyl-silyl; di-C₁-C₄alkyl-phenylsilyl; C₁-C₄alkyl-diphenylsilyl; triphenylsilyl; optionally substituted alkyl; optionally substituted alkenyl or optionally substituted alkynyl. The compounds possess plant-protecting properties and are suitable for protecting plants against infestation by phytopathogenic microorganism, especially fungi.

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N-BISARYL- AND N-ARYL-CYCLOALKYLIDENYL-ALPHA-HYDROXY- AND ALPHA-ALKOXY ACID AMIDES

The present invention relates to novel N-bisaryl- and N-aryl-cycloalkylidenyl- α -hydroxy- and α -alkoxy acetic acid amides of formula I below. It relates to the preparation of these substances and to agrochemical compositions comprising at least one of those compounds as active ingredient. The invention relates also to the preparation of the said compositions and to the use of the compounds or of the compositions in controlling or preventing the infestation of plants by phytopathogenic microorganisms, especially fungi.

The invention relates to N-bisaryl- and N-aryl-cycloalkylidenyl- α -hydroxy- and α -alkoxy acetic acid amides of the general formula I



including the optical isomers thereof and mixtures of such isomers,

wherein

R₁ is hydrogen, C₁-C₁₂alkyl; C₂-C₁₂alkenyl; C₂-C₁₂alkynyl; C₁-C₁₂haloalkyl;

R₂ is hydrogen; optionally substituted alkyl; optionally substituted alkenyl or optionally substituted alkynyl;

R₃ is optionally substituted aryl or optionally substituted heteroaryl;

A is an optionally substituted saturated or unsaturated C₃-C₈-cycloalkylidene, optionally substituted phenylidene or optionally substituted saturated or unsaturated heterocyclylidene bridge,

R₄ and R₅ are each independently hydrogen or an organic radical, and

R₆ is hydrogen; tri-C₁-C₄alkyl-silyl; di-C₁-C₄alkyl-phenylsilyl; C₁-C₄alkyl-diphenylsilyl; tri-phenylsilyl; optionally substituted alkyl; optionally substituted alkenyl or optionally substituted alkynyl.

In the above definition aryl includes aromatic hydrocarbon rings like phenyl, naphthyl, anthracenyl, phenanthrenyl, with phenyl being preferred.

In the above definitions "halogen" includes fluorine, chlorine, bromine and iodine. Likewise,

the prefix "halo" includes fluorine, chlorine, bromine and iodine.

The alkyl, alkenyl and alkynyl radicals may be straight-chain or branched. This applies also to the alkyl, alkenyl or alkynyl parts of other alkyl-, alkenyl- or alkynyl-containing groups. Depending upon the number of carbon atoms mentioned, alkyl on its own or as part of another substituent is to be understood as being, for example, methyl, ethyl, propyl, butyl, pentyl, hexyl, heptyl, octyl, nonyl, decyl, undecyl, dodecyl and the isomers thereof, for example isopropyl, isobutyl, tert-butyl or sec-butyl, isopentyl or tert-pentyl.

Depending upon the number of carbon atoms mentioned, alkenyl as a group or as a structural element of other groups is to be understood as being, for example, ethenyl, allyl, 1-propenyl, buten-2-yl, buten-3-yl, penten-1-yl, penten-3-yl, hexen-1-yl, 4-methyl-3-pentenyl or 4-methyl-3-hexenyl.

Alkynyl as a group or as a structural element of other groups is, for example, ethynyl, propyn-1-yl, propyn-2-yl, butyn-1-yl, butyn-2-yl, 1-methyl-2-butylnyl, hexyn-1-yl, 1-ethyl-2-butylnyl or octyn-1-yl.

Optionally substituted alkyl, alkenyl or alkynyl groups may carry one or more substituents selected from halogen, alkyl, alkoxy, alkylthio, cycloalkyl, phenyl, nitro, cyano, hydroxy, mercapto, alkylcarbonyl and alkoxycarbonyl. Preferably, the number of substituents is not more than three with the exception of halogen, where e.g. the alkyl groups may be perhalogenated.

Heteroaryl stands for aromatic ring systems comprising mono-, bi- or tricyclic systems being formed by 1 or 2 five- to six-membered condensed rings wherein at least one oxygen, nitrogen or sulfur atom is present as a ring member. Typically heteroaryl comprises 1 to 4 identical or different heteroatoms selected from nitrogen, oxygen and sulfur, wherein the number of oxygen and sulfur atoms normally does not exceed one. Examples are furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, tetrazinyl, indolyl, benzothiophenyl, benzofuranyl, benzimidazolyl, indazolyl, benzotriazolyl, benzothiazolyl, benzoxazolyl, quinolinyl, isoquinolinyl, phthalazinyl, quinoxalinyl, quinazolinyl, cinnolinyl and naphthyridinyl.

The above aryl and heteroaryl groups may carry one or more identical or different substituents. Normally not more than three substituents are present at the same time. Examples

of substituents of aryl or heteroaryl groups are: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, phenyl and phenyl-alkyl, it being possible in turn for all of the preceding groups to carry one or more identical or different halogen atoms; alkoxy; alkenyloxy; alkynyloxy; alkoxyalkyl; haloalkoxy, alkylthio; haloalkylthio; alkylsulfonyl; formyl; alkanoyl; hydroxy; halogen; cyano; nitro; amino; alkylamino; dialkylamino; carboxyl; alkoxy-carbonyl; alkenyloxy-carbonyl or alkynyloxy-carbonyl.

The organic radical in R₄ and R₅ indicates that practically every substituent used in the art of organic chemistry may be placed in the indicated position at the phenylene bridge member. Preferred are however the more frequently used radicals like C₁-C₈alkyl; C₂-C₈alkenyl; C₂-C₈alkynyl; C₃-C₈cycloalkyl; C₃-C₈cycloalkyl-C₁-C₄alkyl; C₁-C₈alkylthio; C₁-C₈alkylsulfonyl; C₁-C₈alkoxy; C₃-C₈alkenyloxy; C₃-C₈alkynyloxy; C₃-C₈cycloalkoxy; C₁-C₈alkoxy-C₁-C₄alkyl; C₁-C₈alkoxy-carbonyl; C₃-C₈alkenyloxy-carbonyl; C₃-C₈alkynyloxy-carbonyl; C₁-C₈alkanoyl; C₁-C₈dialkylamino or C₁-C₈alkylamino, wherein in each of the above radicals the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or like carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino.

Cycloalkyl is, depending upon the number of carbon atoms mentioned, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl.

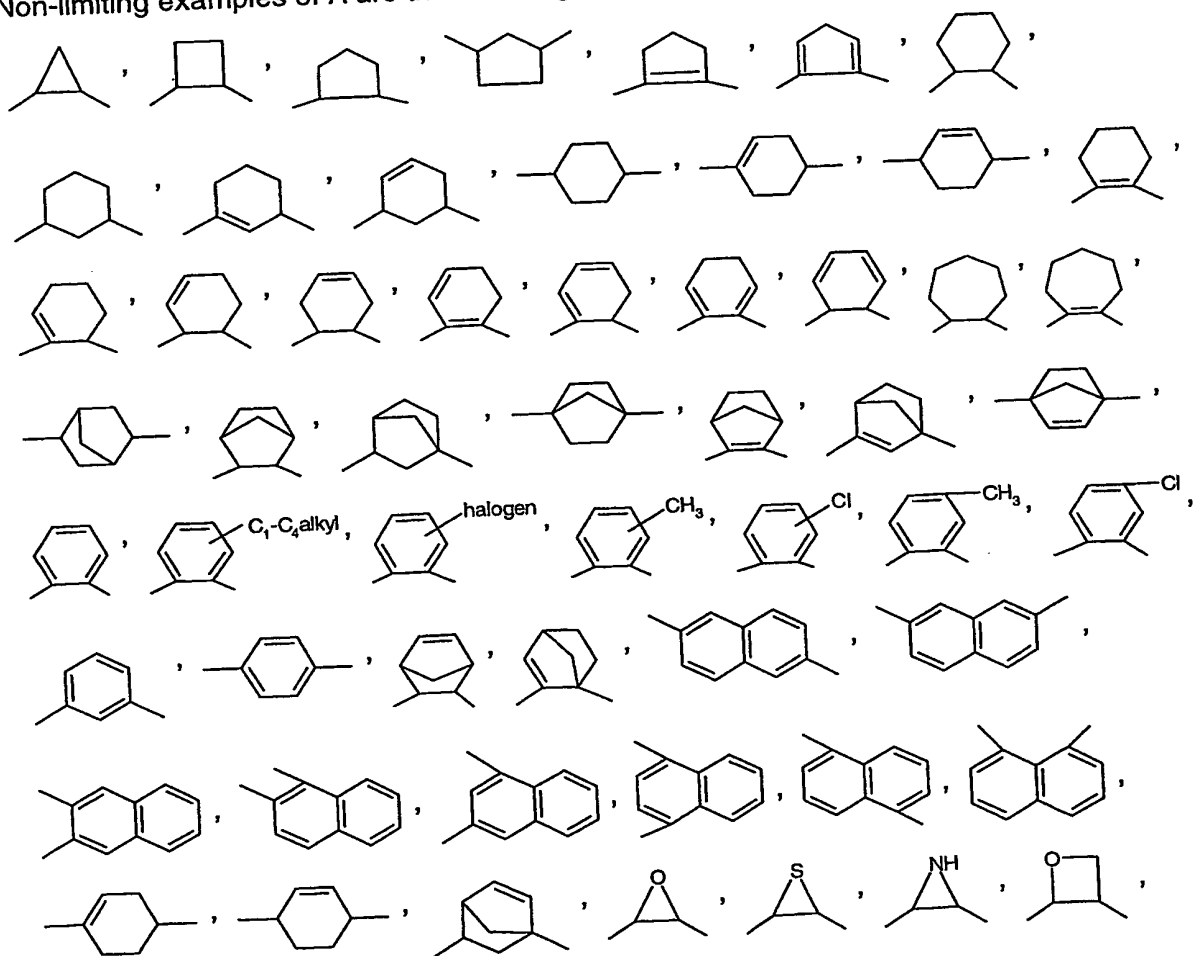
A haloalkyl group may contain one or more (identical or different) halogen atoms, and for example may stand for CHCl₂, CH₂F, CCl₃, CH₂Cl, CHF₂, CF₃, CH₂CH₂Br, C₂Cl₅, C₂F₅, CH₂Br, CHClBr, CF₃CH₂, etc..

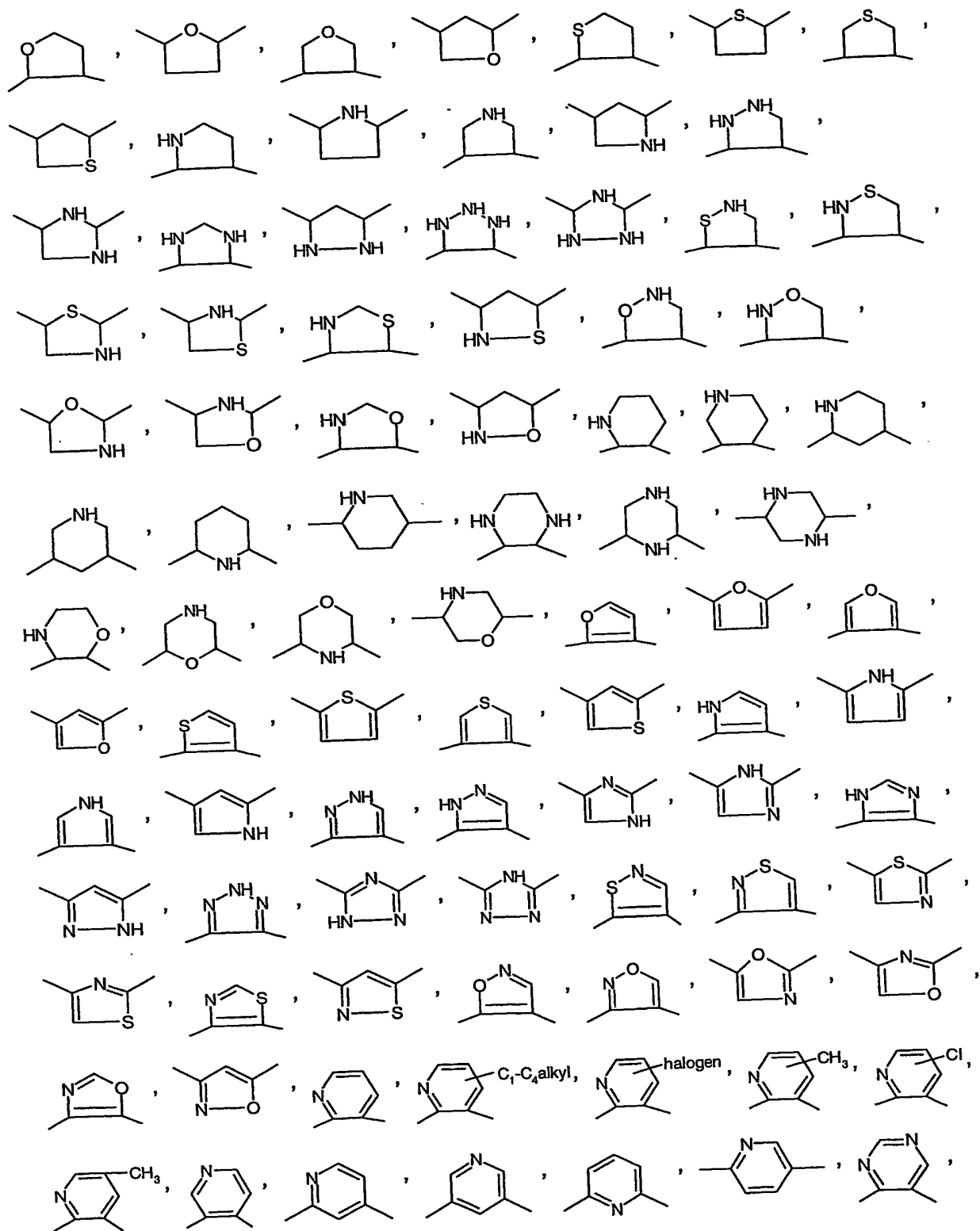
The bridge member A stands for a bivalent cyclic group (optionally substituted saturated or unsaturated C₃-C₈-cycloalkylidene, optionally substituted phenylidene or optionally substituted saturated or unsaturated heterocyclylidene) which comprises at least two carbon atoms as ring members which function as the linking ring members to the remainder of the molecule. The cyclic bivalent bridge bonded via two carbon atoms is either a hydrocarbon ring or a heterocyclic ring containing one to three heteroatoms selected from nitrogen, oxygen or sulfur, and which ring member may be of saturated, unsaturated or aromatic character, and may optionally carry one to three substituents being independently of each other selected from halogen, C₁-C₆alkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆alkoxy-carbonyl, nitro or cyano. Typical examples for the bivalent cyclic bridge are cyclopropylidene, cyclopentylidene,

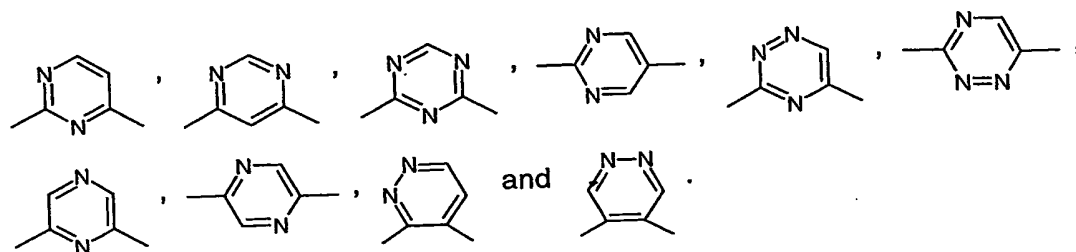
cyclopentenylidene, cyclohexylidene, cyclohexenylidene, cyclohexadienylidene, bicyclohexylidene, cycloheptylidene, bicycloheptylidene, norbornanylidene, norbornenylidene, phenylidene, naphthylidene, tetrahydrofuranylidene, tetrahydrothienylidene, pyrrolidinylidene, pyrazolidinylidene, triazolinylidene, thiazolidinylidene, isothiazolidinylidene, oxazolidinylidene, isoxazolidinylidene, piperidinylidene, piperazinylidene, morpholinylidene, furanylidene, thienylidene, pyrrolylidene, pyrazolylidene, triazolylidene, thiazolylidene, oxazolylidene, isothiazolylidene, isoxazolylidene, oxadiazolylidene, thiadiazolylidene, pyridinylidene, triazinylidene or pyrimidinylidene.

Preferred members of this group are those wherein the two linking carbon atoms have vicinal positions in the cyclic bridge member. However, also remarkable fungicidal activity is associated with other carbon-bonded cyclic bridge members A.

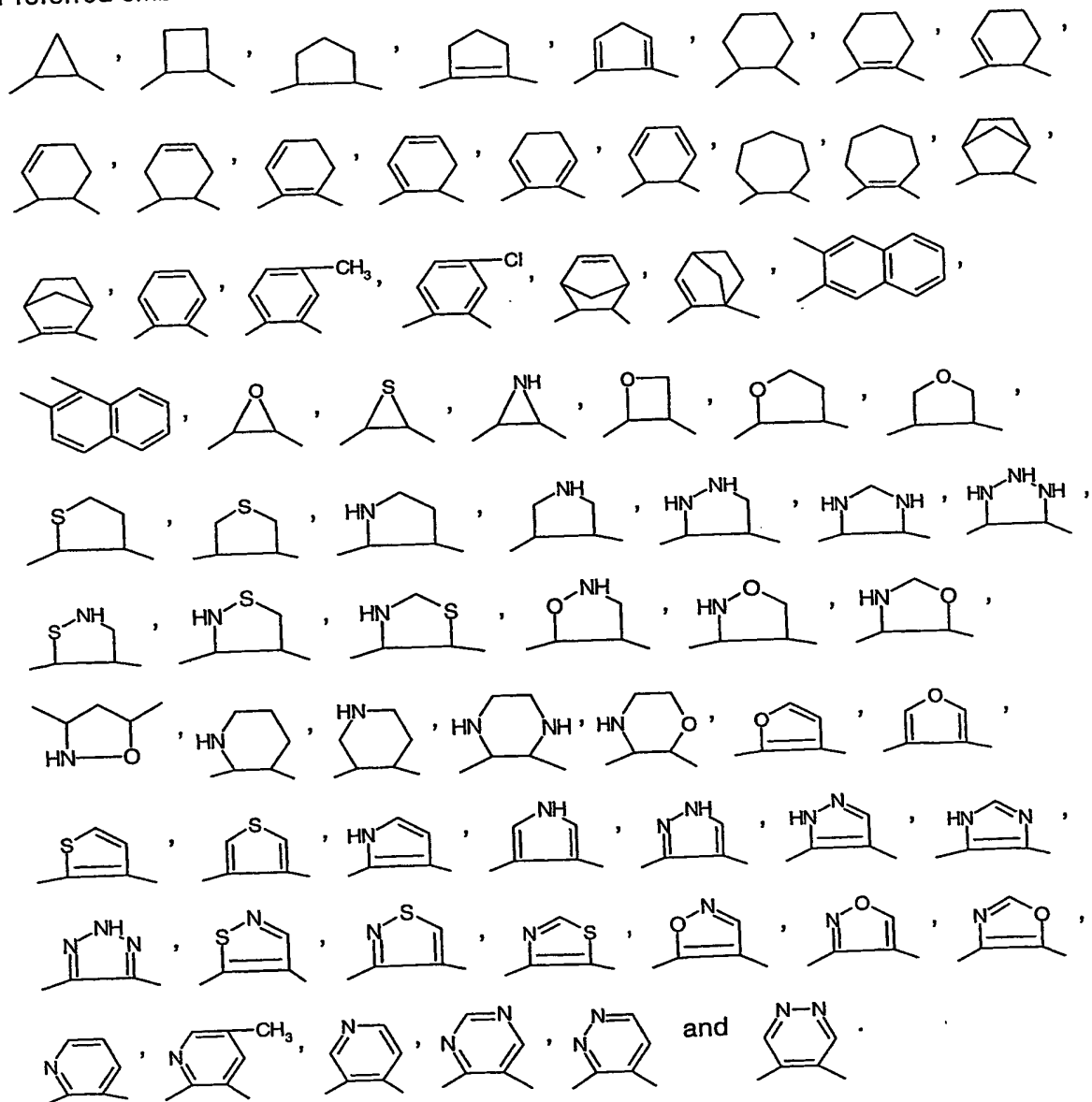
Non-limiting examples of A are the following:



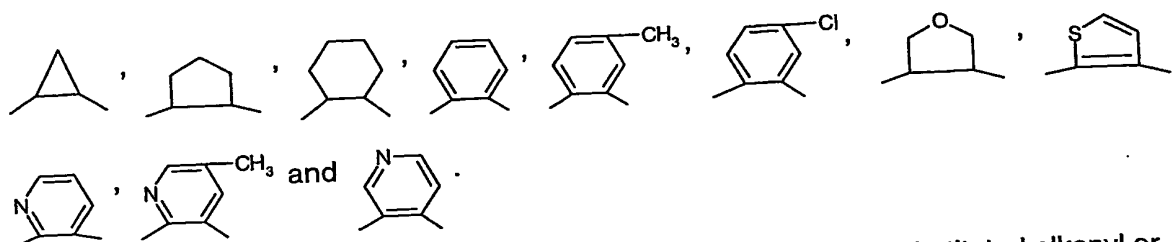




Preferred embodiments of the cyclic bridge A are the vicinally bonded ones:



Even more preferred embodiments of the cyclic bridge A are:



Within the definition of R_6 the optionally substituted alkyl, optionally substituted alkenyl or optionally substituted alkynyl, encompass C_1 - C_{10} alkyl; C_3 - C_{10} alkenyl; C_3 - C_{10} alkynyl; C_1 - C_{10} haloalkyl; C_3 - C_{10} haloalkenyl; C_3 - C_{10} haloalkynyl; and further

benzyl optionally substituted by C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkyl- C_1 - C_4 alkyl, C_1 - C_8 alkylthio, C_1 - C_8 alkylsulfonyl, C_1 - C_8 alkoxy, C_3 - C_8 alkenyloxy, C_3 - C_8 alkynyloxy, C_3 - C_8 cycloalkoxy, C_1 - C_8 alkoxy- C_1 - C_4 alkyl, C_1 - C_8 alkenyloxy- C_1 - C_4 alkyl, C_1 - C_8 alkynyloxy- C_1 - C_4 alkyl, C_1 - C_8 alkoxycarbonyl, C_3 - C_8 alkenyloxycarbonyl, C_3 - C_8 alkynyloxycarbonyl, C_1 - C_8 alkanoyl, C_1 - C_8 dialkylamino, C_1 - C_8 alkylamino (wherein the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated); carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino;

a group $-CR_7R_8-C\equiv C-B$ wherein R_7 and R_8 are independently hydrogen or C_1 - C_4 alkyl; and B is either C_1 - C_8 alkyl or C_3 - C_8 cycloalkyl; phenyl or phenyl substituted by C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkyl- C_1 - C_4 alkyl, C_1 - C_8 alkylthio, C_1 - C_8 alkylsulfonyl, C_1 - C_8 alkoxy, C_3 - C_8 alkenyloxy, C_3 - C_8 alkynyloxy, C_3 - C_8 cycloalkoxy, C_1 - C_8 alkoxy- C_1 - C_4 alkyl, C_1 - C_8 alkoxycarbonyl, C_3 - C_8 alkenyloxycarbonyl, C_3 - C_8 alkynyloxycarbonyl, C_1 - C_8 alkanoyl, C_1 - C_8 dialkylamino, C_1 - C_8 alkylamino (wherein the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated); carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or

a group $-CR_7R_8-CR_9R_{10}-X-B$ wherein R_7 , R_8 , R_9 and R_{10} are independently hydrogen or C_1 - C_4 alkyl; X is -O-, -S- or -NR₁₃- where R_{13} is hydrogen or C_1 - C_4 alkyl; and B is either C_1 - C_8 alkyl or C_3 - C_8 cycloalkyl; phenyl or phenyl substituted by C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkyl- C_1 - C_4 alkyl, C_1 - C_8 alkylthio, C_1 - C_8 alkylsulfonyl, C_1 - C_8 alkoxy, C_3 - C_8 alkenyloxy, C_3 - C_8 alkynyloxy, C_3 - C_8 cycloalkoxy, C_1 - C_8 alkoxy- C_1 - C_4 alkyl, C_1 - C_8 alkoxycarbonyl, C_3 - C_8 alkenyloxycarbonyl, C_3 - C_8 alkynyloxycarbonyl, C_1 - C_8 alkanoyl, C_1 - C_8 dialkylamino, C_1 - C_8 alkylamino (where all these alkyl, alkenyl, alkynyl or cycloalkyl containing groups may be partially or fully halogenated); carboxyl; formyl; halogen; nitro; cyano; hydroxy; or amino.

The presence of at least one asymmetric carbon atom and/or at least one asymmetric oxidized sulfur atom in the compounds of formula I means that the compounds may occur in optically isomeric forms. As a result of the presence of a possible aliphatic C=C double bond, geometric isomerism may also occur. Formula I is intended to include all those possible isomeric forms and mixtures thereof.

Preferred subgroups of compounds of formula I are those wherein

R₁ is hydrogen; C₁-C₁₂alkyl; C₂-C₁₂alkenyl; C₂-C₁₂alkynyl or C₁-C₁₂haloalkyl; or

R₁ is hydrogen; C₁-C₁₂alkyl, C₂-C₁₂alkenyl; or C₂-C₁₂alkynyl; or

R₁ is hydrogen; C₁-C₄alkyl or C₂-C₅alkynyl; or

R₁ is hydrogen or C₂-C₅alkynyl; or

R₁ is hydrogen or propargyl; or

R₁ is propargyl; or

R₂ is hydrogen; C₁-C₄alkyl; C₁-C₄haloalkyl; C₂-C₅alkenyl or C₂-C₅alkynyl; or

R₂ is hydrogen or C₁-C₄alkyl; or

R₂ is hydrogen; or

R₃ is aryl or heteroaryl, each optionally substituted with substituents selected from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, phenyl and phenylalkyl, where all these groups may be substituted with one or more halogen atoms; alkoxy; alkenyloxy; alkynyloxy; alkoxy-alkyl; haloalkyl; alkylthio; haloalkylthio; alkylsulfonyl; formyl; alkanoyl; hydroxy; cyano; nitro; amino; alkylamino; dialkylamino; carboxyl; alkoxycarbonyl; alkenyloxycarbonyl and alkynyloxycarbonyl; or

R₃ is phenyl, naphthyl, biphenyl, thienyl or pyridyl, each optionally substituted by one to three substituents selected from the group comprising C₁-C₈alkyl; C₂-C₈alkenyl; C₂-C₈alkynyl; C₁-C₈haloalkyl; C₁-C₈alkoxy; C₁-C₈haloalkoxy; C₁-C₈alkylthio; C₁-C₈haloalkylthio; C₁-C₈alkylsulfonyl; halogen; cyano; nitro and C₁-C₈alkoxycarbonyl; or

R₃ is phenyl, naphthyl, thienyl or pyridyl, each optionally substituted by one to three substituents selected from the group comprising C₁-C₆alkyl; C₁-C₆haloalkyl; C₁-C₆alkoxy; C₁-C₆haloalkoxy; C₁-C₆alkylthio; C₁-C₆haloalkylthio; halogen and C₁-C₆alkoxycarbonyl; or

R₃ is thienyl or pyridyl, each optionally substituted by one to two substituents selected from the group comprising methyl, fluoro, chloro or bromo; or

R₃ is phenyl optionally substituted by one to two substituents selected from the group comprising methyl, ethyl, methoxy, fluoro, chloro, bromo, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; or

R₃ is phenyl optionally substituted by one to two substituents selected from the group comprising fluoro, chloro and bromo, or is phenyl optionally substituted by one substituent selected from the group comprising methyl, ethyl, methoxy, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; or

A is optionally substituted saturated or unsaturated carbocycle or heterocycle linked to the remainder of the molecule by vicinal ring member carbon atoms; or

A is optionally substituted 1,2-phenylene; optionally substituted 2,3-pyridinylidene; optionally substituted 3,4-pyridinylidene; optionally substituted 2,3-thienylidene; optionally substituted 4,5-thiazolylidene; optionally substituted 1,2-cyclohexylidene; optionally substituted 1,2-cyclopentylidene; optionally substituted 3,4-tetrahydrofuranylidene or optionally substituted 1,2-cyclopropylidene; or

A is 1,2-phenylene; 2,3-pyridinylidene; 3,4-pyridinylidene or 2,3-thienylidene; each optionally substituted with halogen, C₁-C₆alkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆alkoxycarbonyl, nitro or cyano; or is 1,2-cyclohexylidene; 1,2-cyclopentylidene; 3,4-tetrahydrofuranylidene or 1,2-cyclopropylidene, each optionally substituted with C₁-C₆alkyl; or

A is 1,2-phenylene; 1,2-cyclohexylidene or 1,2-cyclopropylidene; or

A is 1,2-phenylene or 1,2-cyclohexylidene; or

R₄ is hydrogen; C₁-C₈alkyl; C₂-C₈alkenyl; C₂-C₈alkynyl; C₃-C₈cycloalkyl; C₃-C₈cycloalkyl-C₁-C₄alkyl; C₁-C₈alkylthio; C₁-C₈alkylsulfonyl; C₁-C₈alkoxy; C₃-C₈alkenyloxy; C₃-C₈alkenyloxy; C₃-C₈cycloalkoxy; C₁-C₈alkoxy-C₁-C₄alkyl; C₁-C₈alkoxycarbonyl; C₃-C₈alkenyloxy carbonyl; C₃-C₈alkynyloxy carbonyl; C₁-C₈alkanoyl; C₁-C₈dialkylamino or C₁-C₈alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or

R₄ is hydrogen; C₁-C₈alkyl; C₁-C₈haloalkyl; C₂-C₈alkenyl; C₂-C₈alkynyl; C₁-C₈alkylthio; C₁-C₈haloalkylthio; C₁-C₈alkoxy; C₁-C₈haloalkoxy; C₁-C₈alkoxy-C₁-C₄alkyl; C₁-C₈alkoxycarbonyl; C₁-C₈alkanoyl; formyl; halogen; nitro; cyano or hydroxy; or

R₄ is hydrogen; C₁-C₄alkyl; C₁-C₄alkoxy; C₁-C₄haloalkoxy or halogen; or

R₄ is hydrogen; methoxy or ethoxy; or

R₅ is hydrogen; C₁-C₈alkyl; C₂-C₈alkenyl; C₂-C₈alkynyl; C₃-C₈cycloalkyl; C₃-C₈cycloalkyl-C₁-C₄alkyl; C₁-C₈alkylthio; C₁-C₈alkylsulfonyl; C₁-C₈alkoxy; C₃-C₈alkenyloxy; C₃-C₈alkenyloxy; C₃-C₈cycloalkoxy; C₁-C₈alkoxy-C₁-C₄alkyl; C₁-C₈alkoxycarbonyl; C₃-C₈alkenyloxy carbonyl; C₃-C₈alkynyloxy carbonyl; C₁-C₈alkanoyl; C₁-C₈dialkylamino or C₁-C₈alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or

R₅ is hydrogen; C₁-C₄alkyl; C₁-C₄haloalkyl; C₁-C₄alkoxy; C₁-C₄alkoxycarbonyl; C₁-C₄alkanoyl; formyl; halogen; cyano or hydroxy; or

R₅ is hydrogen; C₁-C₄alkyl; halogen or cyano; or

R₅ is hydrogen; or

R₆ is hydrogen; C₁-C₁₀alkyl; C₃-C₁₀alkenyl; C₃-C₁₀alkynyl; C₁-C₁₀haloalkyl; C₃-C₁₀haloalkenyl; C₃-C₁₀haloalkynyl; benzyl; benzyl substituted with C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₃-C₈cycloalkyl, C₃-C₈cycloalkyl-C₁-C₄alkyl, C₁-C₈alkylthio, C₁-C₈alkylsulfonyl, C₁-C₈alkoxy, C₃-C₈alkenyloxy, C₃-C₈alkynyloxy, C₃-C₈cycloalkoxy, C₁-C₈alkoxy-C₁-C₄alkyl, C₁-C₈alkenyloxy-C₁-C₄alkyl, C₁-C₈alkynyloxy-C₁-C₄alkyl, C₁-C₈alkoxycarbonyl, C₃-C₈alkenyloxycarbonyl, C₃-C₈alkynyloxycarbonyl, C₁-C₈alkanoyl, C₁-C₈dialkylamino, C₁-C₈alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated, carboxyl; formyl; halogen; nitro; cyano; hydroxy; or amino; or

is a group -CR₇R₈-C≡C-B wherein R₇ and R₈ are independently hydrogen or C₁-C₄alkyl; and B is either C₁-C₈alkyl or C₃-C₈cycloalkyl; phenyl or phenyl substituted by C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₃-C₈cycloalkyl, C₃-C₈cycloalkyl-C₁-C₄alkyl, C₁-C₈alkylthio, C₁-C₈alkylsulfonyl, C₁-C₈alkoxy, C₃-C₈alkenyloxy, C₃-C₈alkynyloxy, C₃-C₈cycloalkoxy, C₁-C₈alkoxy-C₁-C₄alkyl, C₁-C₈alkoxycarbonyl, C₃-C₈alkenyloxycarbonyl, C₃-C₈alkynyloxycarbonyl, C₁-C₈alkanoyl, C₁-C₈dialkylamino, C₁-C₈alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or

is a group -CR₇R₈-CR₉R₁₀-X-B wherein R₇, R₈, R₉ and R₁₀ are independently hydrogen or C₁-C₄alkyl; X is -O-, -S- or -NR₁₃- where R₁₃ is hydrogen or C₁-C₄alkyl; and B is either C₁-C₈cycloalkyl; phenyl or phenyl substituted by C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₃-C₈cycloalkyl, C₃-C₈cycloalkyl-C₁-C₄alkyl, C₁-C₈alkylthio, C₁-C₈alkylsulfonyl, C₁-C₈alkoxy, C₃-C₈alkenyloxy, C₃-C₈alkynyloxy, C₃-C₈cycloalkoxy, C₁-C₈alkoxy-C₁-C₄alkyl, C₁-C₈alkoxycarbonyl, C₃-C₈alkenyloxycarbonyl, C₃-C₈alkynyloxycarbonyl, C₁-C₈alkanoyl, C₁-C₈dialkylamino, C₁-C₈alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or

or

R₆ is hydrogen; C₁-C₈alkyl; C₃-C₈alkenyl; C₃-C₈alkynyl; C₁-C₆alkoxy-C₁-C₄alkyl; C₃-C₆alkenyloxy-C₁-C₄alkyl; C₃-C₆alkynyloxy-C₁-C₄alkyl; benzyl; benzyl substituted with C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₁-C₈alkylthio, C₁-C₈alkoxy, C₁-C₈haloalkyl, halogen, nitro or cyano; a group -CH₂-C≡C-B where B is either C₃-C₈cycloalkyl, phenyl or phenyl substituted with C₁-C₈alkyl, C₁-C₈alkylthio, C₁-C₈alkoxy, C₁-C₈haloalkyl, halogen, nitro or cyano; or a

group $-\text{CH}_2-\text{CH}_2-\text{O}-\text{B}$ where B is either C_3-C_6 cycloalkyl, phenyl or phenyl substituted with C_1-C_8 -alkyl, C_1-C_8 -alkylthio, C_1-C_8 -alkoxy, C_1-C_8 -haloalkyl, halogen, nitro or cyano; or

R_6 is C_1-C_6 alkyl; C_3-C_6 alkenyl; C_3-C_6 alkynyl; C_1-C_6 alkoxy- C_1-C_4 alkyl; C_3-C_6 alkenyloxy- C_1-C_4 alkyl; C_3-C_6 alkynyloxy- C_1-C_4 alkyl; benzyl; benzyl substituted with C_1-C_4 alkyl; C_1-C_8 haloalkyl or halogen; a group $-\text{CH}_2-\text{C}\equiv\text{C}-\text{B}$ where B is either C_3-C_6 cycloalkyl, phenyl or phenyl substituted with by C_1-C_4 alkyl or halogen, or a group $-\text{CH}_2-\text{CH}_2-\text{O}-\text{B}$ where B is either C_3-C_6 cycloalkyl, phenyl or phenyl substituted with C_1-C_8 alkyl or halogen; or

R_6 is C_1-C_6 alkyl; C_3-C_6 alkenyl; C_3-C_6 alkynyl; C_1-C_6 alkoxy- C_1-C_4 alkyl; C_3-C_6 alkenyloxy- C_1-C_4 alkyl; C_3-C_6 alkynyloxy- C_1-C_4 alkyl; benzyl; benzyl substituted with C_1-C_4 alkyl, C_1-C_8 haloalkyl or halogen; a group $-\text{CH}_2-\text{C}\equiv\text{C}-\text{B}$ where B is either C_3-C_6 cycloalkyl, phenyl or phenyl substituted with C_1-C_4 alkyl or halogen; or a group $-\text{CH}_2-\text{CH}_2-\text{O}-\text{B}$ where B is either C_3-C_6 cycloalkyl, phenyl or phenyl substituted with C_1-C_8 alkyl or halogen; or

R_6 is C_1-C_6 alkyl; C_3-C_6 alkenyl; C_3-C_6 alkynyl; a group $-\text{CH}_2-\text{C}\equiv\text{C}-\text{B}$ where B is either C_3-C_6 cycloalkyl or phenyl optionally substituted with C_1-C_4 alkyl or halogen; or

R_6 is selected from methyl, ethyl, propyl, allyl, butenyl, propargyl, butynyl, pentynyl, cyclopropylpropargyl, phenylpropargyl, bromophenylpropargyl and chlorophenylpropargyl.

R_6 is selected from methyl, ethyl, propargyl, 3-butynyl and 3-pentynyl.

Further preferred subgroups of the compounds of formula I are those wherein

1) R_1 is hydrogen; C_1-C_{12} alkyl; C_2-C_{12} alkenyl; C_2-C_{12} alkynyl or C_1-C_{12} haloalkyl; and R_2 is hydrogen and R_3 is phenyl; naphthyl or heteroaryl formed by 1 or 2 five- or six-membered rings containing 1 to 4 identical or different heteroatoms selected from oxygen, nitrogen or sulfur, wherein each aromatic rings is optionally mono- or poly-substituted with C_1-C_8 alkyl, C_2-C_8 alkenyl, C_2-C_8 alkynyl, C_3-C_8 cycloalkyl, C_1-C_8 alkoxy, C_3-C_8 alkenyloxy, C_3-C_8 alkynyloxy, C_3-C_8 cycloalkyloxy, C_1-C_8 alkylthio, C_1-C_8 alkylsulfonyl, C_1-C_8 alkanoyl, C_1-C_8 alkoxycarbonyl, C_3-C_8 alkenyloxy carbonyl, C_3-C_8 alkynyloxy carbonyl, C_1-C_8 dialkylamino, C_1-C_8 alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated, or with halogen, nitro, cyano, hydroxy or amino; and A is optionally substituted saturated or unsaturated carbocycle or heterocycle linked to the remainder of the molecule by vicinal ring member carbon atoms; and R_4 is hydrogen; C_1-C_8 alkyl; C_2-C_8 alkenyl; C_2-C_8 alkynyl; C_3-C_8 cycloalkyl; C_3-C_8 cycloalkyl- C_1-C_4 alkyl; C_1-C_8 alkylthio; C_1-C_8 alkylsulfonyl; C_1-C_8 alkoxy; C_3-C_8 alkenyloxy; C_3-C_8 alkynyloxy; C_3-C_8 cycloalkoxy; C_1-C_8 alkoxy- C_1-C_4 alkyl; C_1-C_8 alkoxycarbonyl; C_3-C_8 alkenyloxy carbonyl; C_3-C_8 alkynyloxy carbonyl; C_1-C_8 alkanoyl; C_1-C_8 di-

alkylamino or C₁-C₈alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and R₅ is hydrogen; C₁-C₈alkyl; C₂-C₈alkenyl; C₂-C₈alkynyl; C₃-C₈cycloalkyl; C₃-C₈cycloalkyl-C₁-C₄alkyl; C₁-C₈alkylthio; C₁-C₈alkylsulfonyl; C₁-C₈alkoxy; C₃-C₈alkenyloxy; C₃-C₈alkynyloxy; C₃-C₈cycloalkoxy; C₁-C₈alkoxy-C₁-C₄alkyl; C₁-C₈alkoxycarbonyl; C₃-C₈alkenyloxy; C₃-C₈alkynyloxy; C₁-C₈alkanoyl; C₁-C₈dialkylamino or C₁-C₈alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and R₆ is hydrogen; C₁-C₁₀alkyl; C₃-C₁₀alkenyl; C₃-C₁₀alkynyl; C₁-C₁₀haloalkyl; C₃-C₁₀haloalkenyl; C₃-C₁₀haloalkynyl; benzyl; benzyl substituted with C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₃-C₈cycloalkyl, C₃-C₈cycloalkyl-C₁-C₄alkyl, C₁-C₈alkylthio, C₁-C₈alkylsulfonyl, C₁-C₈alkoxy, C₃-C₈alkenyloxy, C₃-C₈alkynyloxy, C₃-C₈cycloalkoxy, C₁-C₈alkoxy-C₁-C₄alkyl, C₁-C₈alkenyloxy-C₁-C₄alkyl, C₁-C₈alkynyloxy-C₁-C₄alkyl, C₁-C₈alkoxycarbonyl, C₃-C₈alkenyloxy-C₁-C₄alkyl, C₁-C₈alkynyloxy-C₁-C₄alkyl, C₁-C₈alkanoyl, C₁-C₈dialkylamino, C₁-C₈alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated, or a group -CR₇R₈-C≡C-B wherein R₇ and R₈ are independently hydrogen or C₁-C₄alkyl; and B is either C₁-C₈alkyl or C₃-C₈cycloalkyl; phenyl or phenyl substituted by C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₃-C₈cycloalkyl, C₃-C₈cycloalkyl-C₁-C₄alkyl, C₁-C₈alkylthio, C₁-C₈alkylsulfonyl, C₁-C₈alkoxy, C₃-C₈alkenyloxy, C₃-C₈alkynyloxy, C₃-C₈cycloalkoxy, C₁-C₈alkoxy-C₁-C₄alkyl, C₁-C₈alkoxycarbonyl, C₃-C₈alkenyloxy-C₁-C₄alkyl, C₃-C₈alkynyloxy-C₁-C₄alkyl, C₁-C₈alkanoyl, C₁-C₈dialkylamino, C₁-C₈alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or a group -CR₇R₈-CR₉R₁₀-X-B wherein R₇, R₈, R₉ and R₁₀ are independently hydrogen or C₁-C₄alkyl; X is -O-, -S- or -NR₁₃- where R₁₃ is hydrogen or C₁-C₄alkyl; and B is either C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₃-C₈cycloalkyl, C₃-C₈cycloalkyl-C₁-C₄alkyl, C₁-C₈alkylthio, C₁-C₈alkylsulfonyl, C₁-C₈alkoxy, C₃-C₈alkenyloxy, C₃-C₈alkynyloxy, C₃-C₈cycloalkoxy, C₁-C₈alkoxy-C₁-C₄alkyl, C₁-C₈alkoxycarbonyl, C₃-C₈alkenyloxy-C₁-C₄alkyl, C₃-C₈alkynyloxy-C₁-C₄alkyl, C₁-C₈alkanoyl, C₁-C₈dialkylamino, C₁-C₈alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or

- or
- 2) R₁ is hydrogen, C₁-C₁₂alkyl, C₂-C₁₂alkynyl or C₁-C₁₂haloalkyl; and R₂ is hydrogen and R₃ is phenyl, naphthyl, furyl, thienyl, imidazolyl, thiazolyl, oxazolyl, pyridyl, pyrimidinyl,

benzothienyl, benzothiazolyl, chinolinyl, pyrazolyl, indolyl, benzimidazolyl or pyrrolyl, wherein each of the aromatic rings is optionally substituted with 1 to 3 substituents selected from C₁-C₈alkyl, C₂-C₈alkenyl, C₃-C₈cycloalkyl, C₁-C₈alkoxy, C₁-C₈alkylthio, C₁-C₈alkoxycarbonyl, C₁-C₈haloalkyl, C₁-C₈haloalkoxy, C₁-C₈haloalkylthio, halogen, nitro or cyano; and A is optionally substituted 1,2-phenylene; optionally substituted 2,3-pyridinylidene; optionally substituted 3,4-pyridinylidene; optionally substituted 2,3-thienylidene; optionally substituted 4,5-thiazolylidene; optionally substituted 1,2-cyclohexylidene; optionally substituted 1,2-cyclopentylidene; optionally substituted 3,4-tetrahydrofuranylidene or optionally substituted 1,2-cyclopropylidene; and R₄ is hydrogen; C₁-C₈alkyl; C₁-C₈haloalkyl; C₂-C₈alkenyl; C₂-C₈alkynyl; C₁-C₈alkylthio; C₁-C₈haloalkylthio; C₁-C₈alkoxy; C₁-C₈haloalkoxy; C₁-C₈alkoxycarbonyl; C₁-C₈alkanoyl; formyl; halogen; nitro; cyano or hydroxy; C₁-C₄alkyl; C₁-C₄haloalkyl; C₁-C₄alkoxy; C₁-C₄alkoxycarbonyl; C₁-C₄alkanoyl; formyl; halogen; cyano or hydroxy; and R₅ is hydrogen; C₁-C₈alkyl; C₃-C₈alkenyl; C₃-C₈alkynyl; C₁-C₆alkoxy-C₁-C₄alkyl; C₃-C₆alkenyloxy-C₁-C₄alkyl; C₃-C₆alkynyloxy-C₁-C₄alkyl; benzyl; benzyl substituted with C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₁-C₈alkylthio, C₁-C₈alkoxy, C₁-C₈haloalkyl, halogen, nitro or cyano; a group -CH₂-C≡C-B where B is either C₁-C₈alkyl or C₃-C₆cycloalkyl, phenyl or phenyl substituted with C₁-C₈alkyl, C₁-C₈alkylthio, C₁-C₈alkoxy, C₁-C₈haloalkyl, halogen, nitro or cyano; or a group -CH₂-CH₂-O-B where B is either C₃-C₆cycloalkyl, phenyl or phenyl substituted with C₁-C₈alkyl, C₁-C₈alkylthio, C₁-C₈alkoxy, C₁-C₈haloalkyl, halogen, nitro or cyano; or

3) R₁ is hydrogen, C₁-C₄alkyl, or C₂-C₆alkynyl; and R₂ is hydrogen and R₃ is phenyl or phenyl substituted with 1 to 3 substituents selected from C₁-C₈alkyl, C₂-C₈alkenyl, C₃-C₈cycloalkyl, C₁-C₈alkoxy, C₁-C₈alkylthio, C₁-C₈alkoxycarbonyl, C₁-C₈haloalkyl, C₁-C₈haloalkoxy, C₁-C₈haloalkylthio, halogen, nitro or cyano; and A is 1,2-phenylene; 2,3-pyridinylidene; 3,4-pyridinylidene or 2,3-thienylidene; each optionally substituted with halogen, C₁-C₆alkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆alkoxycarbonyl, nitro or cyano; or is 1,2-cyclohexylidene; 1,2-cyclopentylidene; 3,4-tetrahydrofuranylidene or 1,2-cyclopropylidene, each optionally substituted with C₁-C₆alkyl; and R₄ is hydrogen; C₁-C₄alkyl; C₁-C₄alkoxy; C₁-C₄haloalkoxy or halogen; and R₅ is hydrogen; C₁-C₄alkyl; halogen or cyano; and R₆ is C₁-C₆alkyl; C₃-C₆alkenyl; C₃-C₆alkynyl; C₁-C₆alkoxy-C₁-C₄alkyl; C₃-C₆alkenyloxy-C₁-C₄alkyl; C₃-C₆alkynyloxy-C₁-C₄alkyl; C₁-C₄alkyl; benzyl; benzyl substituted with C₁-C₄alkyl; C₁-C₈haloalkyl or halogen; a group -CH₂-C≡C-B where B is either C₁-C₈alkyl or C₃-C₆cycloalkyl, phenyl or phenyl substituted with C₁-C₈alkyl or halogen, or a group -CH₂-CH₂-O-B where B is either C₃-C₆cycloalkyl, phenyl or phenyl substituted with C₁-C₈alkyl or halogen; or

- 5) R_1 is hydrogen or C_2 - C_5 alkynyl; and R_2 is hydrogen and R_3 is phenyl; C_{1-4} alkylphenyl or halophenyl; and A is 1,2-phenylene; 1,2-cyclohexylidene or 1,2-cyclopropylidene; and R_4 is hydrogen; methoxy or ethoxy; and R_5 is hydrogen; and R_6 is C_1 - C_6 alkyl; C_3 - C_6 alkenyl; C_3 - C_6 alkynyl; C_1 - C_6 alkoxy- C_1 - C_4 alkyl; C_3 - C_6 alkenyloxy- C_1 - C_4 alkyl; C_3 - C_6 alkynyloxy- C_1 - C_4 alkyl; benzyl; benzyl substituted with C_1 - C_4 alkyl, C_1 - C_8 haloalkyl or halogen; a group $-CH_2-C\equiv C-B$ where B is either C_3 - C_6 cycloalkyl, phenyl or phenyl substituted with C_1 - C_4 alkyl or halogen; or a group $-CH_2-CH_2-O-B$ where B is either C_3 - C_6 cycloalkyl, phenyl or phenyl substituted with C_1 - C_8 alkyl or halogen; or
- 6) R_1 is hydrogen or propargyl; and R_2 is hydrogen; and R_3 is phenyl optionally substituted by one to two substituents selected from the group comprising methyl, ethyl, methoxy, fluoro, chloro, bromo, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; and A is 1,2-phenylene or 1,2-cyclohexylidene; and R_4 is hydrogen or methoxy; and R_5 is hydrogen; and R_6 is selected from methyl, ethyl, propyl, allyl, butenyl, propargyl, butynyl, pentynyl, cyclopropylpropargyl, phenylpropargyl, bromophenylpropargyl and chlorophenylpropargyl; or
- 7) R_1 is propargyl; and R_2 is hydrogen; and R_3 is phenyl optionally substituted by one to two substituents selected from the group comprising fluoro, chloro and bromo, or is phenyl optionally substituted by one substituent selected from the group comprising methyl, ethyl, methoxy, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; and A is 1,2-phenylene or 1,2-cyclohexylidene; and R_4 is hydrogen or methoxy; and R_5 is hydrogen; and R_6 is selected from methyl, ethyl, propargyl, 3-butenyl and 3-pentynyl.

Preferred individual compounds are:

N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-2-phenyl-acetamide,
 2-(4-chlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-acetamide,
 2-(4-bromophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-acetamide,
 2-(3,4-dichlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-acetamide,
 N-(3',4'-dimethoxy-biphenyl-2-yl)-2-phenyl-2-prop-2-ynyloxy-acetamide,
 2-(4-chlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
 2-(4-bromophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
 2-(3,4-dichlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
 2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-phenyl-acetamide,
 2-(4-chlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-acetamide,
 2-(4-bromophenyl)-2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-acetamide,
 2-(3,4-dichlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-acetamide,

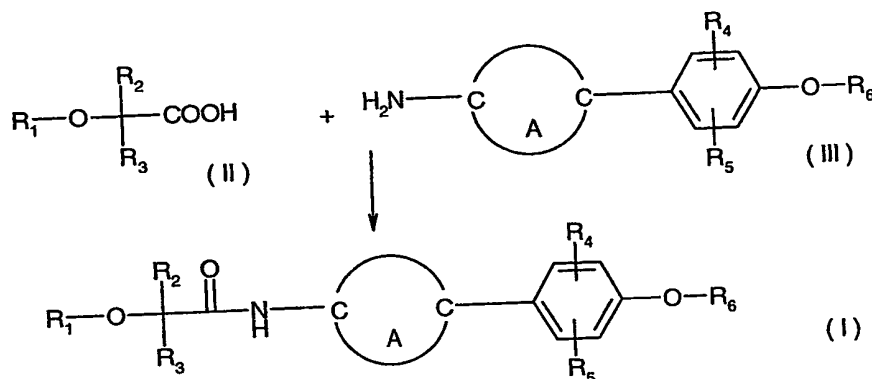
N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-
acetamide,
2-(4-bromophenyl)-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-
acetamide,
2-(3,4-dichlorophenyl)-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-
acetamide,
2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-acetamide,
2-(4-bromophenyl)-2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-acetamide,
2-(3,4-dichlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-acetamide,
N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-
acetamide,
2-(4-bromophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-
acetamide,
2-(3,4-dichlorophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-
acetamide,
N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-2-phenyl-acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-acetamide,
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-acetamide,
N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-
acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-
acetamide,
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-
acetamide,
2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-
acetamide,
2-(4-bromophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-

acetamide,
2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-
cyclohexyl]-acetamide,
N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-2-prop-2-ynyloxy-
acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-
ynyloxy-acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-
ynyloxy-acetamide,
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-
ynyloxy-acetamide,
2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-
acetamide,
2-(4-bromophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-
acetamide,
2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-
cyclohexyl]-acetamide,
N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-2-prop-2-ynyloxy-
acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-
ynyloxy-acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-
ynyloxy-acetamide, and
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-
ynyloxy-acetamide.

Certain α -hydroxy- and α -alkoxy acid derivatives with a distinct chemical structure have been proposed for controlling plant-destructive fungi (for example in WO 94/29267 and WO 96/17840). The action of those preparations is not, however, satisfactory in all aspects of agricultural needs. Surprisingly, with the compound structure of formula I, new kinds of microbiocides having a high level of activity have been found.

The N-bisaryl- and N-aryl-cycloalkylidenyl- α -hydroxy- and α -alkoxy acid amides of formula I may be obtained according to one of the following processes:

a)

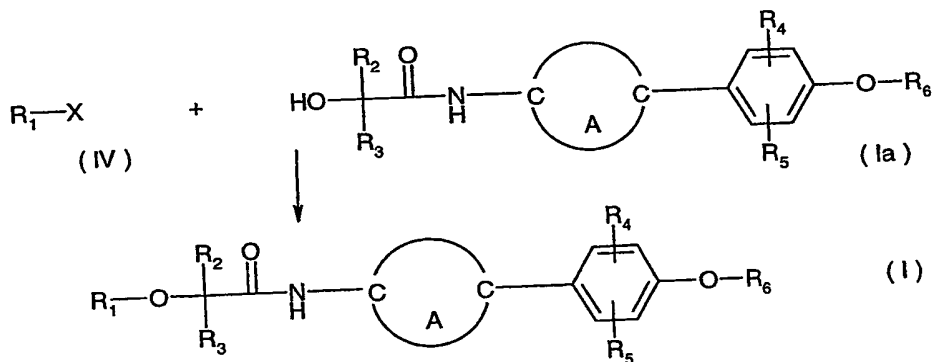


An α -hydroxy- or α -alkoxy acid of formula II or a carboxyl-activated derivative of an α -hydroxy- or α -alkoxy acid of formula II wherein R_1 , R_2 and R_3 are as defined for formula I, is reacted with an amine of formula III wherein A, R_4 , R_5 and R_6 , are as defined for formula I, optionally in the presence of a base and optionally in the presence of a diluting agent. Carboxyl-activated derivatives of the α -hydroxy- or α -alkoxy acid of formula II encompasses all compounds having an activated carboxyl group like an acid halide, such as an acid chloride or an acid fluoride, like symmetrical or mixed anhydrides, such as mixed anhydrides with O-alkylcarbonates, like activated esters, such as p-nitrophenylesters or N-hydroxysuccinimide esters, as well as in situ produced activated forms of the amino acid of formula II by condensating agents, such as dicyclohexylcarbodiimide, carbonyldiimidazol, benzotriazol-1-yloxy-tris(dimethylamino)phosphonium hexafluorophosphate, O-benzotriazol-1-yl N,N,N',N'-bis(pentamethylene)uronium hexafluorophosphate, O-benzotriazol-1-yl N,N,N',N'-tetramethyluronium hexafluorophosphate or benzotriazol-1-yloxy-tripyrrolidinophosphonium hexafluorophosphate. The mixed anhydrides of the α -hydroxy- or α -alkoxy acids of the formula II can be prepared by reaction of a α -hydroxy- or α -alkoxy acid of formula II with chloroformic acid esters like chloroformic acid alkylesters, such as ethyl chloroformate or isobutyl chloroformate, optionally in the presence of an organic or inorganic base like a tertiary amine, such as triethylamine, N,N-diisopropyl-ethylamine, pyridine, N-methyl-piperidine or N-methyl-morpholine. The acid halide of the α -hydroxy- or α -alkoxy acids of formula II may be prepared by reaction of a α -hydroxy- or α -alkoxy acid of formula II with an inorganic halide, such as thionyl chloride or phosphorous pentachloride, or with organic halides, such as

phosgene or oxalyl chloride.

The present reaction is preferably performed in an inert solvent like aromatic, non-aromatic or halogenated hydrocarbons, such as chlorohydrocarbons e.g. dichloromethane or toluene; ketones e.g. acetone; esters e.g. ethyl acetate; amides e.g. N,N-dimethylformamide; nitriles e.g. acetonitrile; or ethers e.g. diethylether, tert-butyl-methylether, dioxane or tetrahydrofuran or water. It is also possible to use mixtures of these solvents. The reaction is performed optionally in the presence of an organic or inorganic base like a tertiary amine, e.g. triethylamine, N,N-diisopropyl-ethylamine, pyridine, N-methyl-piperidine or N-methyl-morpholine, like a metal hydroxide or a metal carbonate, preferentially an alkali hydroxide or an alkali carbonate, such as lithium hydroxide, sodium hydroxide or potassium hydroxide at temperatures ranging from -80 to +150 °C, preferentially at temperatures ranging from -40 to +40 °C.

b)

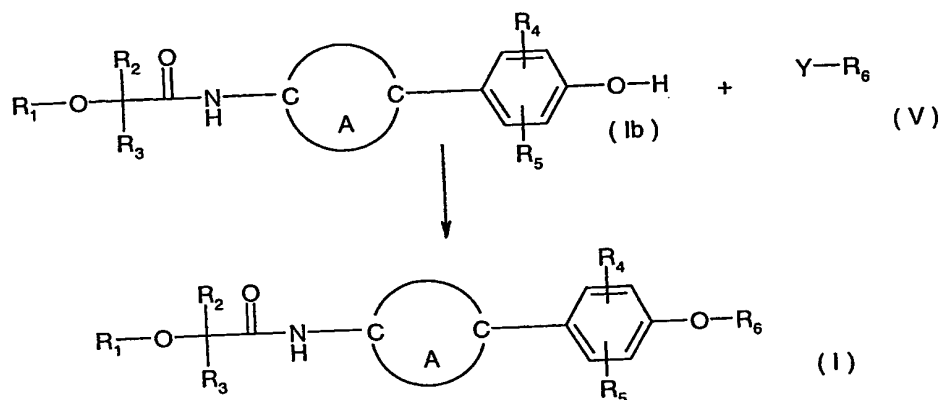


Compounds of formula I, in which R_1 is different from hydrogen, may also be prepared by reaction of a α -hydroxy acid amide of formula Ia wherein A, R_2 , R_3 , R_4 , R_5 and R_6 are as defined for formula I, with a compound of formula IV wherein R_1 is as defined for formula I with the exception of hydrogen and where X is a leaving group like a halide such as a chloride or bromide, or a sulfonic ester such as a tosylate, mesylate or triflate.

The reaction is preferably performed in an inert solvent like aromatic, non-aromatic or halogenated hydrocarbons, such as chlorohydrocarbons e.g. dichloromethane or toluene; ketones e.g. acetone; esters e.g. ethyl acetate; amides e.g. N,N-dimethylformamide; nitriles e.g. acetonitrile; or ethers e.g. diethylether, tert-butyl-methylether, dioxane or tetrahydrofuran or water. It is also possible to use mixtures of these solvents. The reaction is performed optionally in the presence of an organic or inorganic base like a tertiary amine, e.g. triethylamine, N,N-diisopropyl-ethylamine, pyridine, N-methyl-piperidine or N-methyl-morpholine, like a metal hydroxide or a metal carbonate, preferentially an alkali hydroxide or an alkali

carbonate, such as lithium hydroxide, sodium hydroxide or potassium hydroxide at temperatures ranging from -80 to +150 °C, preferentially at temperatures ranging from -40 to +40°C.

c)

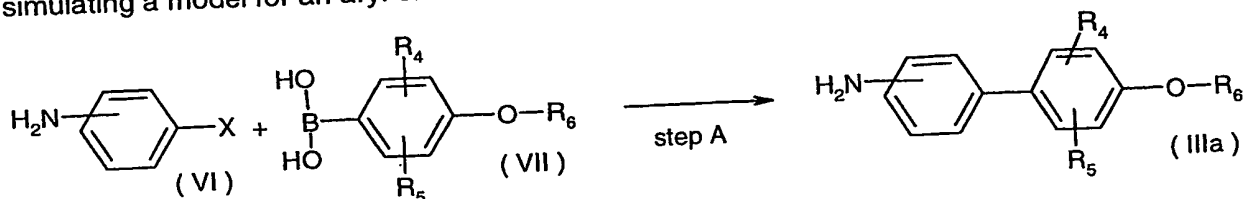


The compounds of formula I, where R₆ is different from hydrogen, may also be prepared by reaction of a phenol of formula Ib where A, R₁, R₂, R₃, R₄, and R₅ are as defined for formula I, with a compound of formula V where R₆ is as defined for formula I with the exception of hydrogen and where Y is a leaving group like a halide such as a chloride or bromide or a sulfonic ester such as a tosylate, mesylate or triflate.

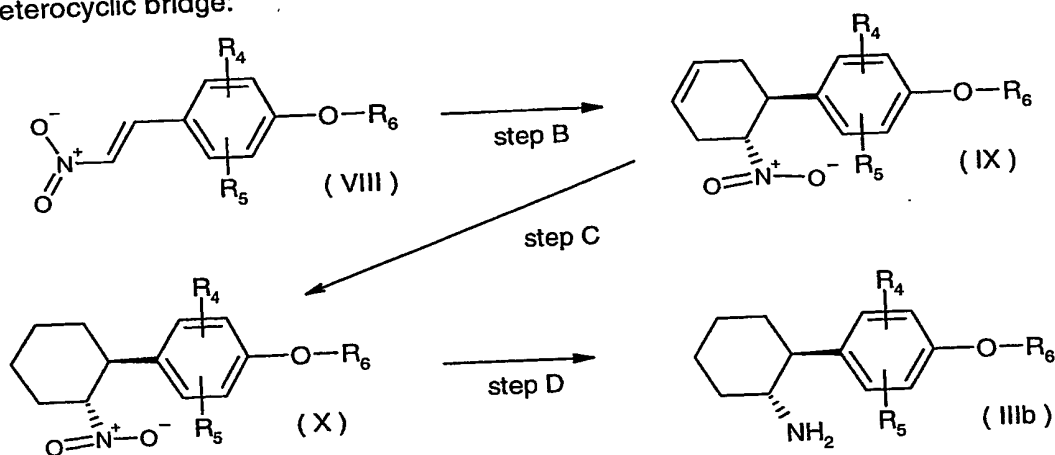
The reaction is performed in an inert solvent like aromatic, non-aromatic or halogenated hydrocarbons, such as chlorohydrocarbons e.g. dichloromethane or toluene; ketones e.g. acetone or 2-butanone; esters e.g. ethyl acetate; ethers e.g. diethylether, tert-butyl-methylether, dioxane or tetrahydrofuran, amides e.g. dimethylformamide, nitriles e.g. acetonitrile, alcohols e.g. methanol, ethanol, isopropanol, n-butanol or tert-butanol, sulfoxides e.g. dimethylsulfoxide or water. It is also possible to use mixtures of these solvents. The reaction is performed optionally in the presence of an organic or inorganic base like a tertiary amine, such as triethylamine, N,N-diisopropyl-ethylamine, pyridine, N-methyl-piperidine or N-methyl-morpholine, like a metal hydroxide, a metal carbonate or a metal alkoxide, preferentially an alkali hydroxide, an alkali carbonate or an alkali alkoxide, such as lithium hydroxide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, sodium methoxide, potassium methoxide, sodium ethoxide, potassium ethoxide, sodium tert-butoxide or potassium tert-butoxide at temperatures ranging from -80 to +20°C, preferentially at temperatures ranging from 0 to +120 °C.

Preparation of compounds of formula III, illustrated with one example of the phenylidene series where A is phenylidene yielding the aromatic amines of formula IIIa, but also

simulating a model for an aryl or an aromatic heterocyclic bridge:



and one example of the cyclohexylidene series where A is cyclohexylidene yielding the non-aromatic amines of formula IIIb, also simulating saturated or unsaturated cyclic and heterocyclic bridge:



The compounds of formula III, in particular those of formulae IIIa and IIIb, have been created for the synthesis of the novel active ingredients of formula I. They constitute another feature of present invention.

Step A: The compounds of formula IIIa wherein R_4 , R_5 and R_6 are as defined for formula I may be prepared by palladium-catalyzed cross-coupling reaction of an aryl boronic acid derivative of formula VII wherein R_4 , R_5 and R_6 are as defined for formula I, with an aryl halide of formula VI wherein X is a halogen, preferentially bromine or iodine under the conditions of the Suzuki coupling, according to known procedures (Y. Miura et al., *Synthesis* **1995**, 1419; M. Hird et al, *Synlett* **1999**, 438).

Step B: A ω -nitrostyrene of formula VIII wherein R_4 , R_5 and R_6 are as defined for formula I is heated in a Diels-Alder reaction (M. B. Smith and J. March, *Advanced Organic Chemistry*, 5th ed., Wiley, **2001**, p. 1062) together with 1,3-butadiene to give a 4-nitro-5-aryl-cyclohexenyl derivative of formula IX, wherein R_4 , R_5 and R_6 are as defined for formula I under conditions known per se (C. M. Nachtsheim and A. W. Frahm, *Arch. Pharm. (Weinheim)* **1989**, 322, 187).

Step C: A 4-nitro-5-aryl-cyclohexenyl derivative of formula IX, wherein R_4 , R_5 and R_6 are as defined for formula I is reduced to a 1-nitro-2-aryl-cyclohexyl derivative of formula X, wherein R_4 , R_5 and R_6 are as defined for formula I. The reduction is preferably performed by catalytic hydrogenation in the presence of a metal catalyst like palladium on carbon or palladium hydroxide on carbon at pressures ranging from 1 to 100 bar, preferentially at pressures ranging from 1 to 50 bar; and temperatures ranging from 0 to +150 °C, preferentially at temperatures ranging from +20 to +100 °C.

Step D: A 1-nitro-2-aryl-cyclohexyl derivative of formula X, wherein R_4 , R_5 and R_6 are as defined for formula I is then further reduced to an 2-aryl-cyclohexylamine of formula IIIb, wherein R_4 , R_5 and R_6 are as defined for formula I. The reduction is preferably performed in the presence of a reagent such as zinc, tin or iron, each of these metals together with a mineral acid like hydrochloric acid or sulfuric acid, indium together with ammonium chloride, hydrazine or hydrazine hydrate together with Raney-Nickel, sodium borohydride, lithium aluminum hydride or by catalytic hydrogenation in the presence of a catalyst such as platinum oxide at temperatures ranging from -80 to +200 °C, preferentially at temperatures ranging from -40 to +120 °C.

The compounds of formula I are oils or solids at room temperature and are distinguished by valuable microbiocidal properties. They can be used in the agricultural sector or related fields preventively and curatively in the control of plant-destructive microorganisms. The compounds of formula I according to the invention are distinguished at low rates of concentration not only by outstanding microbiocidal, especially fungicidal, activity but also by being especially well tolerated by plants.

Surprisingly, it has now been found that the compounds of formula I have for practical purposes a very advantageous biocidal spectrum in the control of phytopathogenic microorganisms, especially fungi. They possess very advantageous curative and preventive properties and are used in the protection of numerous crop plants. With the compounds of formula I it is possible to inhibit or destroy phytopathogenic microorganisms that occur on various crops of useful plants or on parts of such plants (fruit, blossom, leaves, stems, tubers, roots), while parts of the plants which grow later also remain protected, for example, against phytopathogenic fungi.

The novel compounds of formula I prove to be effective against specific genera of the fungus class Fungi imperfecti (e.g. Cercospora), Basidiomycetes (e.g. Puccinia) and Ascomycetes (e.g. Erysiphe and Venturia) and especially against Oomycetes (e.g. Plasmopara, Peronospora, Pythium and Phytophthora). They therefore represent in plant protection a valuable addition to the compositions for controlling phytopathogenic fungi. The compounds of formula I can also be used as dressings for protecting seed (fruit, tubers, grains) and plant cuttings from fungal infections and against phytopathogenic fungi that occur in the soil.

The invention relates also to compositions comprising compounds of formula I as active ingredient, especially plant-protecting compositions, and to the use thereof in the agricultural sector or related fields.

In addition, the present invention includes the preparation of those compositions, wherein the active ingredient is homogeneously mixed with one or more of the substances or groups of substances described herein. Also included is a method of protecting plants which comprises applying the novel compounds of formula I or the novel compositions to said plants.

Target crops to be protected within the scope of this invention include, for example, the following species of plants: cereals (wheat, barley, rye, oats, rice, maize, sorghum and related species); beet (sugar beet and fodder beet); pomes, stone fruit and soft fruit (apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries and blackberries); leguminous plants (beans, lentils, peas, soybeans); oil plants (rape, mustard, poppy, olives, sunflowers, coconut, castor oil plants, cocoa beans, groundnuts); cucurbitaceae (marrows, cucumbers, melons); fibre plants (cotton, flax, hemp, jute); citrus fruit (oranges, lemons, grapefruit, mandarins); vegetables (spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes, paprika); lauraceae (avocado, cinnamon, camphor) and plants such as tobacco, nuts, coffee, sugar cane, tea, pepper, vines, hops, bananas and natural rubber plants, and also ornamentals.

The compounds of formula I are normally used in the form of compositions and can be applied to the area or plant to be treated simultaneously or in succession with other active ingredients. Those other active ingredients may be fertilisers, micronutrient donors or other preparations that influence plant growth. It is also possible to use selective herbicides or

insecticides, fungicides, bactericides, nematocides, molluscicides or mixtures of several of those preparations, if desired together with further carriers, surfactants or other application-promoting adjuvants customarily employed in formulation technology.

The compounds of formula I can be mixed with other fungicides, resulting in some cases in unexpected synergistic activities, e.g. synergistic enhancement of the biological effects. Preferred active ingredients advantageous as additives to the compositions comprising the active ingredient of formula I are: azoles, such as azaconazole, bitertanol, bromuconazole, cyproconazole, difenoconazole, diniconazole, epoxiconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, hexaconazole, imazalil, S-imazalil, imibenconazole, ipconazole, metconazole, myclobutanil, oxpoconazole, pefurazoate, penconazole, pyrifenoxy, prochloraz, propiconazole, prothioconazole, simeconazole, tebuconazole, tetraconazole, triadimenfon, triadimenol, triflumizole and triticonazole; pyrimidinyl carbinols, such as ancymidol, fenarimol and nuarimol; 2-amino-pyrimidines, such as bupirimate, dimethirimol and ethirimol; morpholines, such as dodemorph, fenpropidine, fenpropimorph, spiroxamine and tridemorph; anilinopyrimidines, such as cyprodinil, mepanipyrim and pyrimethanil; pyrroles, such as fenpiclonil and fludioxonil; phenylamides, such as benalaxyl, furalaxyl, metalaxyl, R-metalaxyl, ofurace and oxadixyl; benzimidazoles, such as benomyl, carbendazim, decarb, fuberidazole and thiabendazole; dicarboximides, such as chlozolinate, dichlozoline, iprodione, myclozoline, procymidone and vinclozoline; carboxamides, such as carboxin, fenfuram, flutolanil, furametpyr, mepronil, oxycarboxin and thifluzamide; guanidines, such as guazatine, dodine and iminoctadine; strobilurines, such as azoxystrobin, dimoxystrobin (SSF-129), fluoxastrobin, kresoxim-methyl, metominostrobin, orysastrobin, picoxystrobin, pyraclostrobin and trifloxystrobin; dithiocarbamates, such as ferbam, mancozeb, maneb, metiram, propineb, thiram, zineb and ziram; N-halomethylthiotetrahydrophthalimides, such as captafol, captan, dichlofluanid, fluoromides, folpet and tolyfluanid; Copper-compounds, such as Bordeaux mixture, copper hydroxide, copper oxychloride, copper sulfate, cuprous oxide, mancozeb and oxine-copper; nitrophenol-derivatives, such as dinocap and nitrothal-isopropyl; organo-P-derivatives, such as edifenphos, iprobenphos, isoprothiolane, phosdi-phen, pyrazophos and tolclofos-methyl; various others, such as acibenzolar-S-methyl, anilazina, benthiavalicarb, blastidyn-S, boscalid, chinomethionate, chloroneb, chlorothalonil, IKF-916 (proposed name cyazofamid), cyflufenamid, cymoxanil, dichloro, diclomezine, dicloran, diethofencarb, dimethomorph, ethaboxam, fenoxanil, SYP-LI90 (proposed name: flumorph), dithianon, etridiazole, famoxadone, fenamidone, fentin, ferimzone, fluazinam,

flusulfamide, fenhexamid, fosetyl-aluminium, hymexazol, iprovalicarb, kasugamycin, methasulfocarb, metrafenone, pencycuron, phthalide, picobenzamid, polyoxins, proben-azole, propamocarb, pyroquilon, proquinazid, quinoxifen, quintozone, silthiofam, sulfur, triazoxide, triadinil, tricyclazole, triforine, validamycin, or zoxamide.

Suitable carriers and surfactants may be solid or liquid and correspond to the substances ordinarily employed in formulation technology, such as e.g. natural or regenerated mineral substances, solvents, dispersants, wetting agents, tackifiers, thickeners, binders or fertilisers. Such carriers and additives are described, for example, in WO 95/30651.

A preferred method of applying a compound of formula I, or an agrochemical composition comprising at least one of those compounds, is application to the foliage (foliar application), the frequency and the rate of application depending upon the risk of infestation by the pathogen in question. The compounds of formula I may also be applied to seed grains (coating) either by impregnating the grains with a liquid formulation of the active ingredient or by coating them with a solid formulation.

The compounds of formula I are used in unmodified form or, preferably, together with the adjuvants conventionally employed in formulation technology, and are for that purpose advantageously formulated in known manner e.g. into emulsifiable concentrates, coatable pastes, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granules, and by encapsulation in e.g. polymer substances. As with the nature of the compositions, the methods of application, such as spraying, atomising, dusting, scattering, coating or pouring, are chosen in accordance with the intended objectives and the prevailing circumstances.

Advantageous rates of application are normally from 1 g to 2 kg of active ingredient (a.i.) per hectare (ha), preferably from 10 g to 1 kg a.i./ha, especially from 25 g to 750 g a.i./ha. When used as seed dressings, rates of from 0.001 g to 1.0 g of active ingredient per kg of seed are advantageously used.

The formulations, i.e. the compositions, preparations or mixtures comprising the compound(s) (active ingredient(s)) of formula I and, where appropriate, a solid or liquid adjuvant, are prepared in known manner, e.g. by homogeneously mixing and/or grinding the

active ingredient with extenders, e.g. solvents, solid carriers and, where appropriate, surface-active compounds (surfactants).

Further surfactants customarily used in formulation technology will be known to the person skilled in the art or can be found in the relevant technical literature.

The agrochemical compositions usually comprise 0.01 to 99 % by weight, preferably 0.1 to 95 % by weight, of a compound of formula I, 99.99 to 1 % by weight, preferably 99.9 to 5 % by weight, of a solid or liquid adjuvant, and 0 to 25 % by weight, preferably 0.1 to 25 % by weight, of a surfactant.

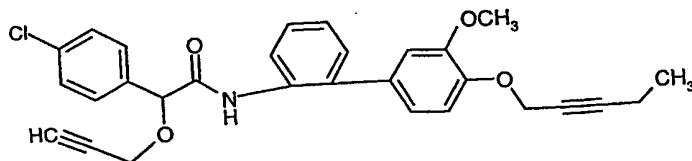
Whereas commercial products will preferably be formulated as concentrates, the end user will normally employ dilute formulations.

The compositions may also comprise further ingredients, such as stabilisers, antifoams, viscosity regulators, binders and tackifiers, as well as fertilisers or other active ingredients for obtaining special effects.

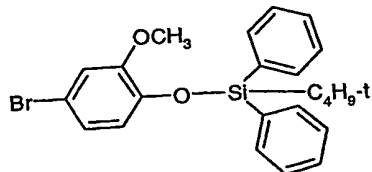
The following Examples illustrate the invention described above, without limiting the scope thereof in any way. Temperatures are given in degrees Celsius.

Preparation Examples for compounds of formula I :

Example A1.1 : 2-(4-Chlorophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide



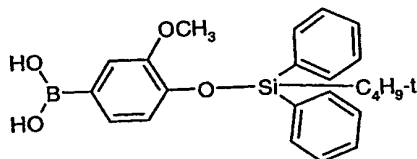
a) (4-Bromo-2-methoxy-phenoxy)-tert-butyl-diphenyl-silane



76.8 ml (300 mmol) tert-Butyldiphenylchlorosilane are added to a solution of 40.61 g (200 mmol) 4-bromoguaiacol and 27.23 g (400 mmol) imidazole in 200 ml dichloromethane at 0°C. The mixture is stirred for 4 hours at room temperature. The solution is diluted with CH₂Cl₂ and extracted with 300 ml water. The solvent of the organic phase is evaporated and the residue is purified by flash-chromatography (ethyl acetate/hexane 3:97), yielding (4-bromo-2-methoxy-phenoxy)-tert-butyl-diphenyl-silane as a colorless oil.

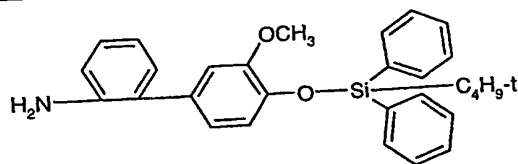
¹H-NMR (CDCl₃, 300 MHz): 1.15 (s, 9 H, t-Bu), 3.55 (s, 3 H, OMe), 6.55 (d, 1H, ar), 6.78 (2m, 1 H, ar), 6.66 (s, 1H, ar), 7.3-7.5 (m, 6H, ar), 7.65-7.75 (m, 4H, ar).

b) 4-(tert-Butyl-diphenyl-silanyloxy)-3-methoxy-phenyl-boronic acid



At -78°C, 140 ml n-BuLi (1.6 M in hexane, 223.8 mmol) in 600 ml THF are added to a solution of 89.92 g (203.4 mmol) (4-bromo-2-methoxy-phenoxy)-tert-butyl-diphenyl-silane over a period of 30 minutes. After further 30 minutes at -78°C, 140.9 ml (610.4 mmol) triisopropylborate are added over a period of 30 minutes. The mixture is allowed to warm up to room temperature and is then hydrolysed at 0°C with a 10% HCl solution within 30 minutes. After separation of the water phase, the organic phase is dried over MgSO₄, condensed and the residue is crystallized from ethyl acetate and a mixture of ethyl acetate/heptane, yielding 4-(tert-butyl-diphenyl-silanyloxy)-3-methoxy-phenyl-boronic acid as a light yellow solid (m.p. 193-196°C).

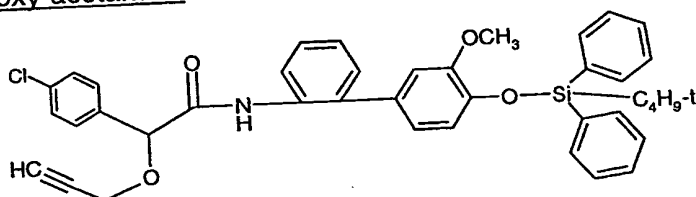
c) 4'-(tert-Butyl-diphenyl-silanyloxy)-3'-methoxy-biphenyl-2-ylamine



A solution of 17.89 g (44.0 mmol) 4-(tert-butyl-diphenyl-silanyloxy)-3-methoxy-phenyl-boronic acid, 6.89 g (31.45 mmol) 2-iodoaniline, 17.4 g (125.8 mmol) K₂CO₃ and 425 mg (6 mol%) Pd(OAc)₂ in 140 ml THF and 80 ml H₂O is heated to reflux for 20 hours. After cooling the mixture is filtrated over cellite and concentrated. The residue is dissolved in

ethyl acetate and washed with water. After drying (MgSO_4) and evaporating the solvent, the residue is subjected to flash-chromatography (ethyl acetate/hexane 1:9). Yield: 4'-(tert-Butyl-diphenyl-silanyloxy)-3'-methoxy-biphenyl-2-ylamine is isolated as a colorless oil.
 $^1\text{H-NMR}$ (CDCl_3 , 300 MHz): 1.15 (s, 9 H, t-Bu), 3.55 (s, 3 H, OMe), 6.6 – 6.9 (m, 5H, ar), 7.05 – 7.15 (m, 2H, ar), 7.30 – 7.50 (m, 6H, ar), 7.75 (m, 4H, ar).

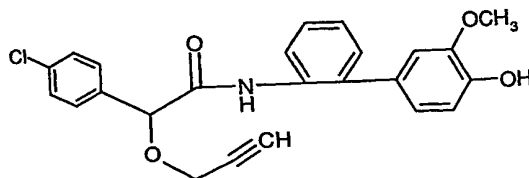
d) N-[4'-(tert-Butyl-diphenyl-silanyloxy)-3'-methoxy-biphenyl-2-yl]-2-(4-chloro-phenyl)-2-prop-2-ynyloxy-acetamide



Oxalyl chloride (4.3 g, 33 mmol) is added to a solution of (4-chlorophenyl-prop-2-ynyloxy)-acetic acid (6.8 g, 30 mmol) in a mixture of 150 ml of dichloromethane and few drops of N,N-dimethylformamide. The reaction mixture is stirred for 4 hours at room temperature and then added to a solution of 4'-(tert-butyl-diphenyl-silanyloxy)-3'-methoxy-biphenyl-2-ylamine (13.8 g, 30 mmol) and triethylamine (4.6 g, 45 mmol) in 150 ml of dichloromethane. The resulting mixture is stirred for 16 hours at room temperature under a nitrogen atmosphere. Subsequently, the mixture is diluted with chloroform and extracted with water. The combined organic layer is dried over sodium sulfate and evaporated and the remaining crude product is subjected to flash-chromatography (ethyl acetate/hexane 3:7) yielding N-[4'-(tert-butyl-diphenyl-silanyloxy)-3'-methoxy-biphenyl-2-yl]-2-(4-chlorophenyl)-2-prop-2-ynyloxy-acetamide as an orange oil.

$^1\text{H-NMR}$ (CDCl_3 , 300 MHz): 1.15 (s, 9 H, t-Bu), 2.39 (t, 1H, $\text{C}\equiv\text{CH}$), 3.61 (s, 3 H, OMe), 3.80 (dd, 1H, $\text{CH}_2\text{C}\equiv\text{C}$), 3.92 (dd, 1H, $\text{CH}_2\text{C}\equiv\text{C}$), 4.99 (s, 1H), 6.63 – 8.72 (m, 22H, ar, NH).

e) 2-(4-Chlorophenyl)-N-(4'-hydroxy-3'-methoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide



A solution of 10.2 g (15.5 mmol) N-[4'-(tert-butyl-diphenyl-silanyloxy)-3'-methoxy-biphenyl-2-

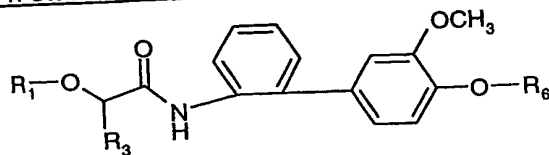
yl]-2-(4-chlorophenyl)-2-prop-2-ynyloxy-acetamide and 24.5 g (77.5 mmol) tetrabutylammonium fluoride in 200 ml of dichloromethane is stirred for 4 hours at room temperature. After extracting with water / ethyl acetate and evaporation of the organic phase, the residue is subjected to flash-chromatography (ethyl acetate/hexane 4:6). Yield : 2-(4-chlorophenyl)-N-(4'-hydroxy-3'-methoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide, m.p. 140 – 142 °C.
¹H-NMR (CDCl₃, 300 MHz): 2.48 (t, 1H, C≡CH), 3.89 (s, 3 H, OMe), 3.93 (dd, 1H, CH₂C≡C), 4.10 (dd, 1H, CH₂C≡C), 5.03 (s, 1H), 6.84 – 8.22 (m, 12H, ar, NH).

f) A solution of 1.3 g (3.1 mmol) 2-(4-chloro-phenyl)-N-(4'-hydroxy-3'-methoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide, 6.0 ml (6.0 mmol) of a 1M solution of sodium methoxide in methanol and 0.5 g (4.7 mmol) 2-pentynyl chloride in 50 ml of methanol is heated to reflux for 3 hours. After cooling, the reaction mixture is poured into ethyl acetate. The organic layer is washed with brine, dried over sodium sulfate and evaporated. The remaining product is subjected to flash-chromatography (ethyl acetate/hexane 4:6) to yield 2-(4-chloro-phenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide as yellow oil.

¹H-NMR (CDCl₃, 300 MHz): 1.13 (t, 3H, Me), 2.22 (q, 2H, CH₂), 2.50 (t, 1H, C≡CH), 3.88 (s, 3 H, OMe), 3.95 (d, 1H, CH₂C≡C), 4.07 (d, 1H, CH₂C≡C), 4.82 (d, 2H, CH₂), 5.04 (s, 1H), 6.88 – 8.78 (m, 12H, ar, NH).

According to the example A1.1 described above the compounds listed in table A1 are obtained.

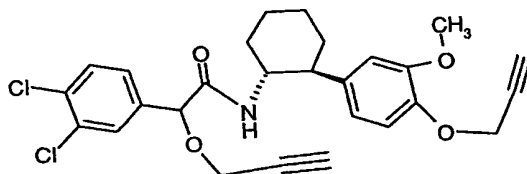
Table A1 (Ph stands for phenyl) :



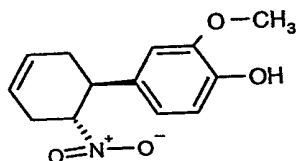
No.	R ₁	R ₃	R ₆	physico-chemical data
A1.01	-CH ₂ -C≡CH	Ph	CH ₃	Oil
A1.02	-CH ₂ -C≡CH	4-Cl-Ph	-Si(C ₄ H ₉ -t)(C ₆ H ₅) ₂	Oil
A1.03	-CH ₂ -C≡CH	4-Cl-Ph	H	m.p. 140-142
A1.04	-CH ₂ -C≡CH	4-Br-Ph	CH ₃	Oil

A1.05	-CH ₂ -C≡CH	4-Cl-Ph	CH ₃	Oil
A1.06	-CH ₂ -C≡CH	4-Cl-Ph	C ₂ H ₅	Oil
A1.07	-CH ₂ -C≡CH	Ph	C ₂ H ₅	m.p. 102-104
A1.08	-CH ₂ -C≡CH	4-Cl-Ph	-CH ₂ -C≡CCH ₂ CH ₃	Oil
A1.09	-CH ₂ -CH=CH ₂	4-Cl-Ph	CH ₃	Oil
A1.10	-CH ₂ -C≡CH	3,4-Cl ₂ -Ph	CH ₃	Oil
A1.11	-CH ₂ -CH=CH ₂	4-Cl-Ph	C ₂ H ₅	Oil

Example A1.2 : 2-(3,4-Dichlorophenyl)-N-[trans-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide



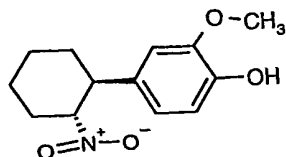
a) trans-2-Methoxy-4-(6-nitro-cyclohex-3-enyl)-phenol



A mixture of 50 g of 3-methoxy-4-hydroxy- ω -nitrostyrene, 1.0 g (9.1 mmol) of hydroquinone and 55 g (1.02 mol) of 1,3-butadiene in 200ml toluene is made at -78°C . This mixture is stirred at $+130^{\circ}\text{C}$ for 4 days in an autoclave. Subsequently, the toluene is evaporated in vacuum. The dark brown oil is purified by crystallization from ethanol. This method allows to obtain *trans*-2-methoxy-4-(6-nitro-cyclohex-3-enyl)-phenol.

¹H-NMR (CDCl₃, 300 MHz): 2.28 – 2.83 (m, 4H, CH₂), 3.34 (td, 1H), 3.87 (s, 3H, OCH₃), 4.89 (td, 1H), 5.53 (s, 1H, OH), 5.71 – 5.84 (m, 2H, CH=CH), 6.69 (d, 1H, ar), 6.73 (dd, 1H, ar), 6.85 (d, 1H, ar).

b) trans-2-Methoxy-4-(2-nitro-cyclohexyl)-phenol

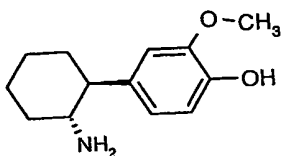


In 300 ml methanol 8.4 g (33.7 mmol) of *trans*-2-methoxy-4-(6-nitro-cyclohex-3-enyl)-phenol

are solved. To this solution 500 mg of 10 % Pd/C are added. The mixture is hydrogenated at room temperature for 6 hours. The mixture was then filtered through Filter Cel and evaporation of the filtrate in vacuum, yielding *trans*-2-methoxy-4-(2-nitro-cyclohexyl)-phenol as a light yellow solid.

¹H-NMR (CDCl₃, 300 MHz): 1.40 – 2.40 (m, 8H, CH₂), 3.05 (td, 1H), 3.85 (s, 3H, OCH₃), 4.62 (td, 1H), 6.65 (d, 1H, ar), 6.69 (dd, 1H, ar), 6.83 (d, 1H, ar).

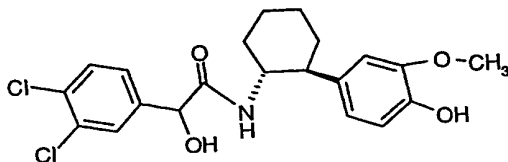
c) *trans*-4-(2-Amino-cyclohexyl)-2-methoxy-phenol



A solution of 8.5 g (33.8 mmol) of *trans*-2-methoxy-4-(2-nitro-cyclohexyl)-phenol is prepared in 300 ml methanol. To this are added simultaneously 7ml of hydrazine hydrate and 2.5 g of Raney-Nickel over 8 hours with vigorous stirring. Upon completion of the addition the reaction mixture is stirred for another 16 hour at room temperature. The mixture is then filtered and evaporation of the filtrate in vacuum gives *trans*-4-(2-amino-cyclohexyl)-2-methoxy-phenol as a light yellow solid.

¹H-NMR (CDCl₃, 300 MHz): 1.20 – 2.10 (m, 8H, CH₂), 2.17 (td, 1H), 2.77 (td, 1H), 3.87 (s, 3H, OCH₃), 6.72 (d, 1H, ar), 6.79 (dd, 1H, ar), 6.89 (d, 1H, ar).

d) 2-(3,4-Dichlorophenyl)-2-hydroxy-N-[*trans*-2-(4-hydroxy-3-methoxy-phenyl)-cyclohexyl]-acetamide

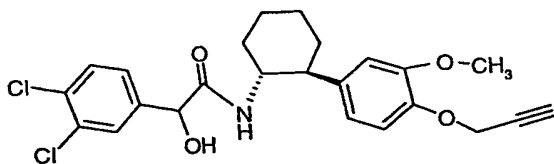


To a stirred solution of 3.0 g (13.5 mmol) of DL-3,4-dichloromandelic acid, 3.0 g (13.5 mmol) of *trans*-4-(2-amino-cyclohexyl)-2-methoxy-phenol and 1.8 g (13.5 mmol) of N,N-diisopropylethylamine in 30 ml DMF is added 6.0 g (13.5 mmol) of benzotriazol-1-yloxytris(dimethylamino)phosphonium hexafluorophosphate in one portion. The reaction mixture is then stirred at ambient temperature for about 2 hours and thereafter poured into 150 ml of aqueous saturated sodium chloride solution. The two-phase mixture is extracted with two 150 ml portions of ethyl acetate. The organic extract is concentrated under

reduced pressure to a residue, which is subjected to column chromatography on silica gel, with 1:1 ethyl acetate / isohexane as the eluant yielding 2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(4-hydroxy-3-methoxy-phenyl)-cyclohexyl]-acetamide.

¹H-NMR (CDCl₃, 300 MHz): 1.17 – 2.24 (m, 10H), 3.76 (s, 3H, OCH₃), 3.93 (m, 1H), 4.67 (s, 1H), 5.42 (d, 2H), 6.47 – 7.21 (m, 6H, ar).

e) 2-(3,4-Dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide



A solution of 0.6 g (1.4 mmol) of 2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(4-hydroxy-3-methoxy-phenyl)-cyclohexyl]-acetamide and 0.4 g (1.9 mmol) of propynyl tosylate and 2.7 ml of 1M solution of sodium methoxide in 10 ml methanol is heated to reflux for 3 hours. The reaction mixture is cooled and poured into 30 ml of aqueous saturated sodium chloride solution and finally extracted with two 100 ml portions of ethyl acetate. The combined organic extract is concentrated under reduced pressure to a residue, which is subjected to column chromatography on silica gel, with 1:1 ethyl acetate / isohexane as the eluant to obtain 2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide.

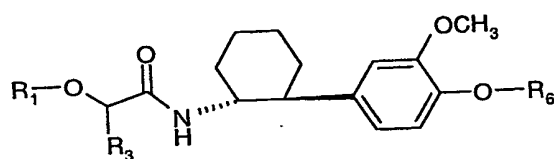
¹H-NMR (CDCl₃, 300 MHz): 1.20 – 2.21 (m, 8H), 2.23 (td, 1H), 2.51 (t, 1H, C≡CH), 3.75 (bs, 1H, OH), 3.79 (s, 3H, OCH₃), 4.01 (m, 1H), 4.70 (s, 1H), 4.76 (d, 2H, CH₂C≡C), 5.42 (d, 1H), 6.54 – 7.26 (m, 6H, ar).

f) To a stirred solution of 0.4 g (0.85 mmol) of 2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide, 0.5 ml of 30 % aqueous sodium hydroxide solution and 5 mg of tetrabutylammonium bromide in 3 ml dichloromethane is added 0.18 g (0.85 mmol) of propynyl tosylate during 1 hour. Upon completion of the addition the reaction mixture is stirred for additional 16 hours at room temperature. The mixture is then extracted with dichloromethane. The organic extract is concentrated under reduced pressure to a residue, which was subjected to column chromatography on silica gel, with 1:2 ethyl acetate / isohexane as the eluant to obtain 2-(3,4-dichlorophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide.

¹H-NMR (CDCl₃, 300 MHz): 1.23 – 2.10 (m, 8H), 2.37 (td, 1H), 2.43 (t, 1H, C≡CH), 2.49 (t, 1H, C≡CH), 3.68 (d, 2H), 3.87 (s, 3H, OCH₃), 3.97 (m, 1H), 4.62 (s, 1H), 4.74 (d, 2H, CH₂C≡C), 6.32 (d, 1H, NH), 6.75 – 7.43 (m, 6H, ar).

According to the example A1.2 described above the compounds listed in table A2 are obtained.

Table A2:



No.	R ₁	R ₃	R ₆	physico-chemical data
A2.01	H	4-Br-Ph	CH ₃	m.p. 181-182
A2.02	-CH ₂ -C≡CH	4-Cl-Ph	-CH ₂ -C≡CCH ₂ CH ₃	m.p. 133-135
A2.03	H	4-Br-Ph	-CH ₂ -C≡CH	m.p. 158-159
A2.04	H	4-Cl-Ph	-CH ₂ -C≡CCH ₂ CH ₃	m.p. 99-102
A2.05	-CH ₂ -C≡CH	4-Cl-Ph	-CH ₂ -C≡CH	m.p. 123-125
A2.06	-CH ₂ -C≡CH	4-Br-Ph	-CH ₂ -C≡CH	m.p. 140-142
A2.07	-CH ₂ -C≡CH	3,4-Cl ₂ -Ph	-CH ₂ -C≡CH	m.p. 124-126
A2.08	H	3,4-Cl ₂ -Ph	-CH ₂ -C≡CCH ₂ CH ₃	Oil
A2.09	H	4-Cl-Ph	-CH ₂ -C≡CH	m.p. 144-146
A2.10	-CH ₂ -C≡CH	3,4-Cl ₂ -Ph	-CH ₂ -C≡CCH ₂ CH ₃	m.p. 143-144
A2.11	H	3,4-Cl ₂ -Ph	-CH ₂ -C≡CH	m.p. 127-129
A2.12	H	4-Br-Ph	H	m.p. 188-191
A2.13	H	3,4-Cl ₂ -Ph	CH ₃	m.p. 133-136
A2.14	H	4-Br-Ph	-CH ₂ -C≡CCH ₂ CH ₃	Oil
A2.15	-CH ₂ -C≡CH	4-Br-Ph	-CH ₂ -C≡CCH ₂ CH ₃	m.p. 137-139
A2.16	H	4-Cl-Ph	CH ₃	m.p. 179-180
A2.17	H	3,4-Cl ₂ -Ph	H	m.p. 182-184

Analogously to the above Examples the following compounds of Tables 1 to 50 may be prepared. In the tables Ph means phenyl.

Table 1 : Compounds represented by the Formula 1.01 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

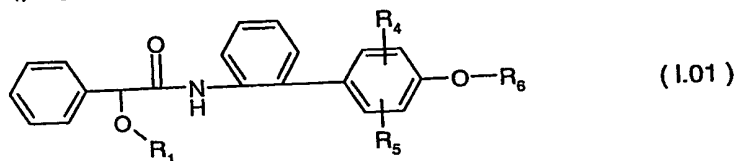


Table 2 : Compounds represented by the Formula 1.02 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

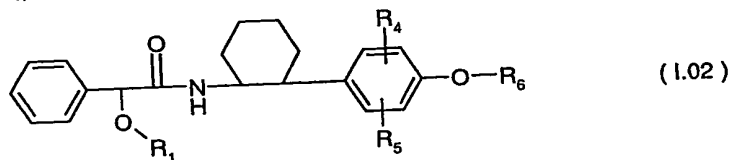


Table 3 : Compounds represented by the Formula 1.03 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

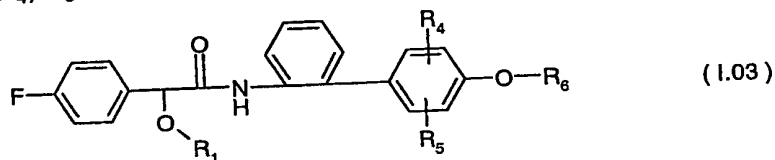


Table 4 : Compounds represented by the Formula 1.04 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

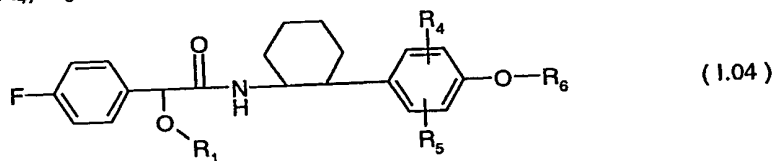


Table 5 : Compounds represented by the Formula 1.05 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

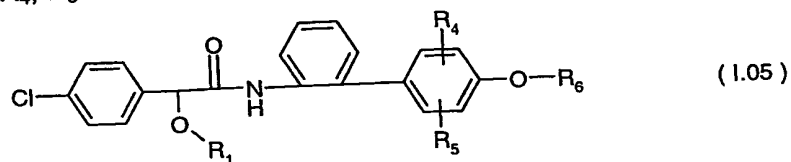


Table 6 : Compounds represented by the Formula 1.06 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

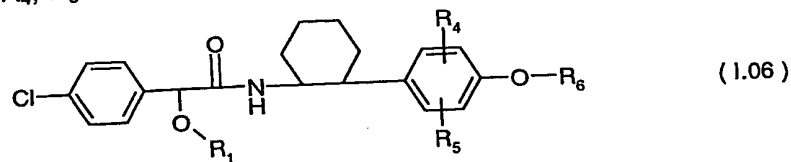


Table 7 : Compounds represented by the Formula I.07 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

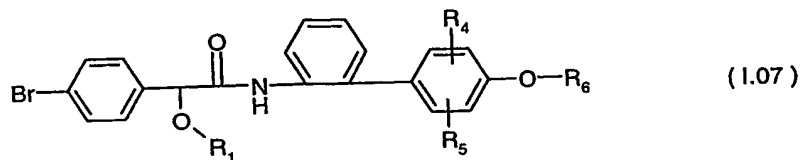


Table 8 : Compounds represented by the Formula I.08 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

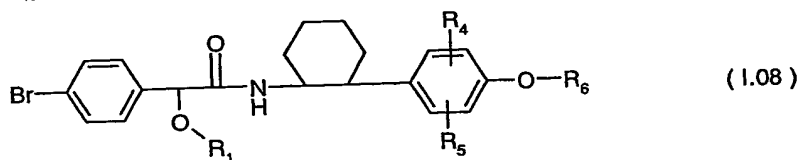


Table 9 : Compounds represented by the Formula I.09 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

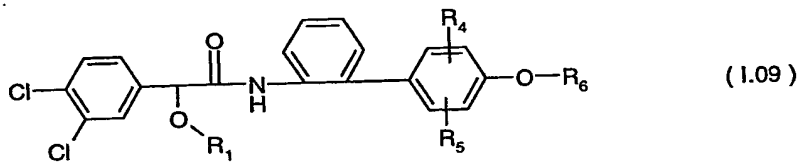


Table 10 : Compounds represented by the Formula I.10 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

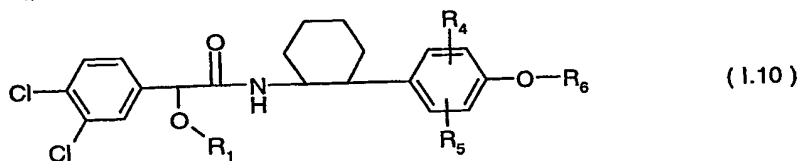


Table 11 : Compounds represented by the Formula I.11 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

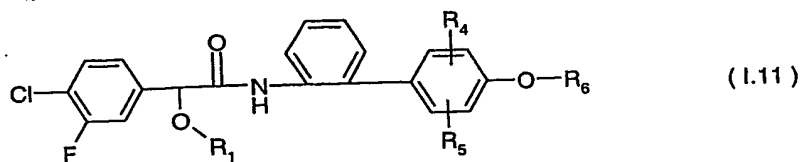


Table 12 : Compounds represented by the Formula I.12 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

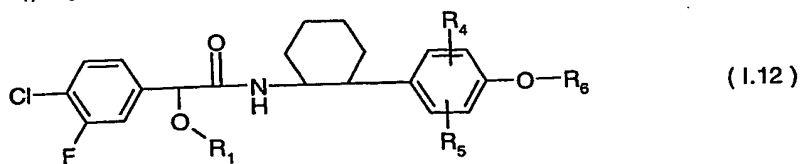


Table 13 : Compounds represented by the Formula I.13 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

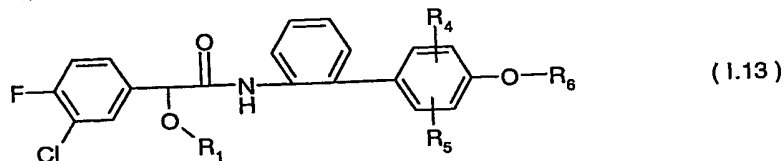


Table 14 : Compounds represented by the Formula I.14 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

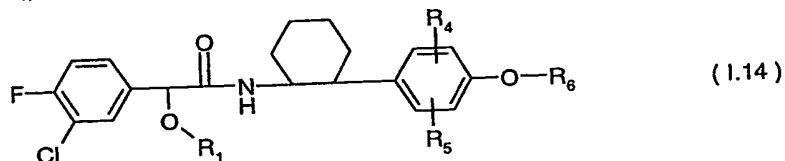


Table 15 : Compounds represented by the Formula I.15 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

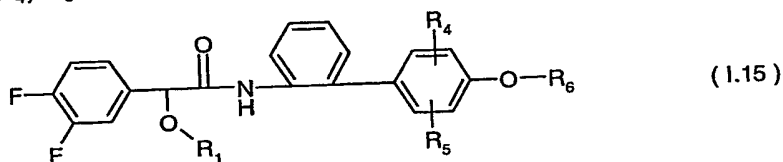


Table 16 : Compounds represented by the Formula I.16 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

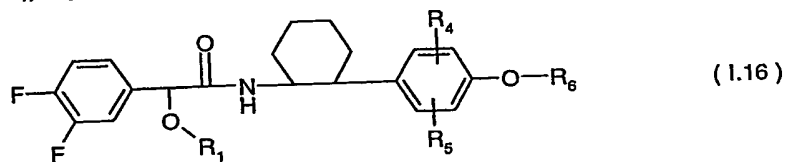


Table 17 : Compounds represented by the Formula I.17 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

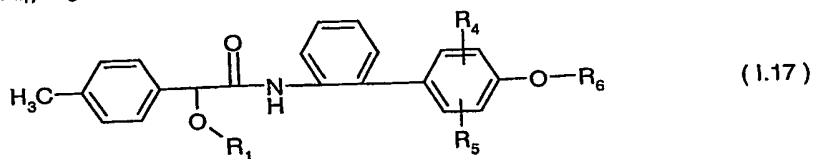


Table 18 : Compounds represented by the Formula I.18 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

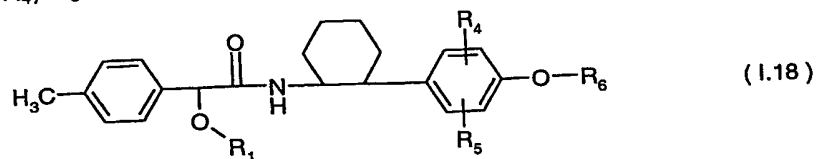


Table 19 : Compounds represented by the Formula 1.19 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

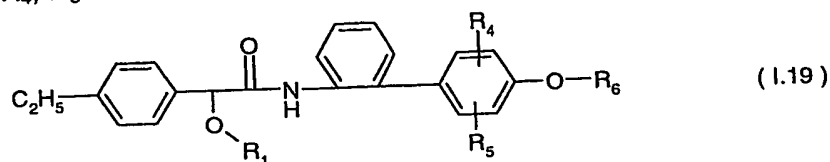


Table 20 : Compounds represented by the Formula 1.20 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

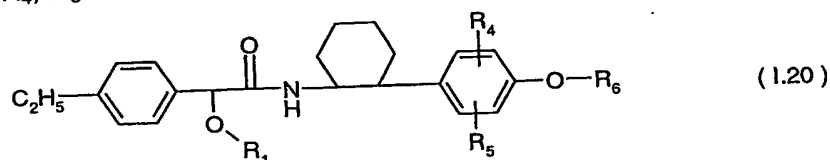


Table 21 : Compounds represented by the Formula 1.21 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

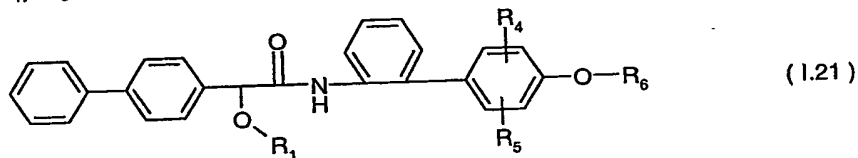


Table 22 : Compounds represented by the Formula 1.22 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

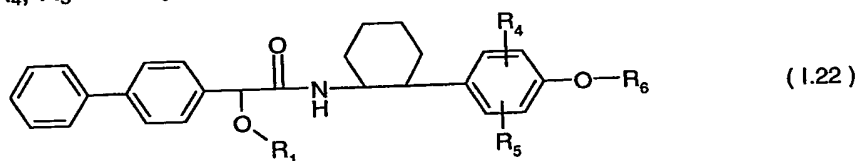


Table 23 : Compounds represented by the Formula 1.23 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

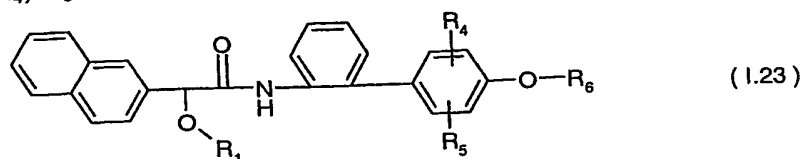


Table 24 : Compounds represented by the Formula 1.24 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

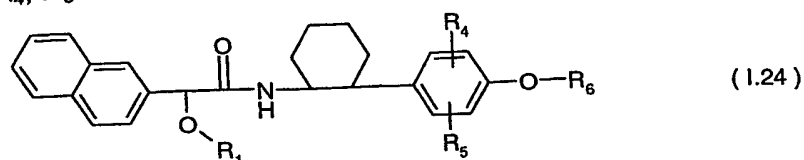


Table 25 : Compounds represented by the Formula 1.25 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

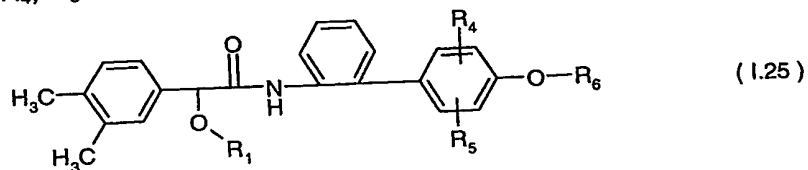


Table 26 : Compounds represented by the Formula 1.26 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

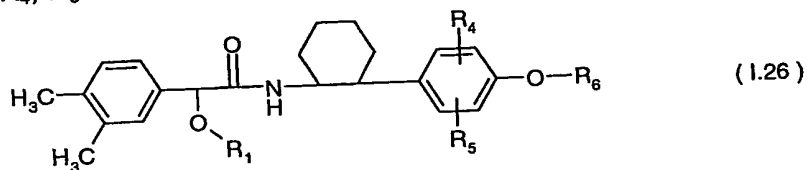


Table 27 : Compounds represented by the Formula 1.27 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

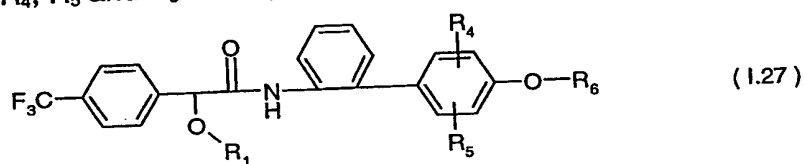


Table 28 : Compounds represented by the Formula 1.28 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

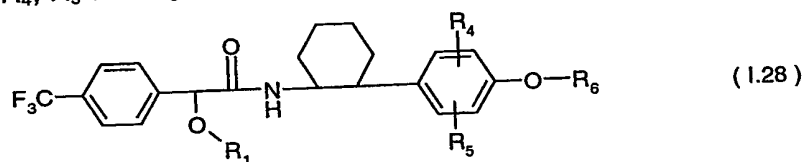


Table 29 : Compounds represented by the Formula 1.29 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

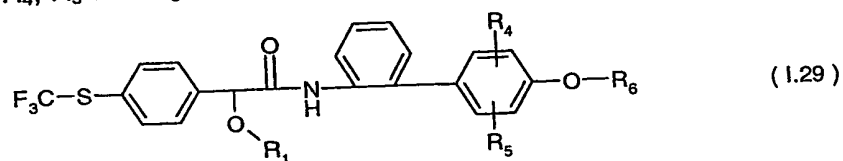


Table 30 : Compounds represented by the Formula 1.30 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

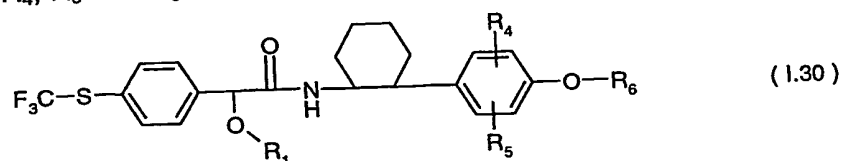


Table 31 : Compounds represented by the Formula 1.31 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

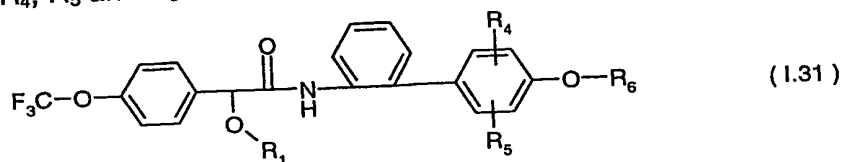


Table 32 : Compounds represented by the Formula 1.32 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

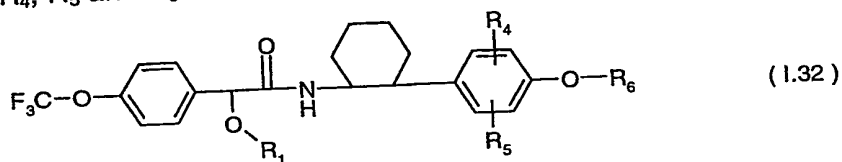


Table 33 : Compounds represented by the Formula 1.33 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

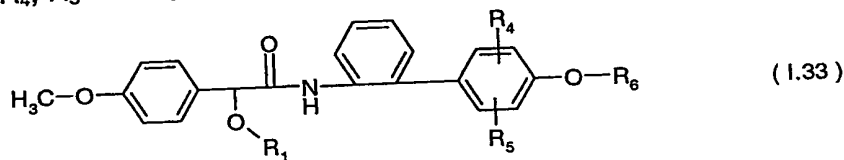


Table 34 : Compounds represented by the Formula 1.34 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

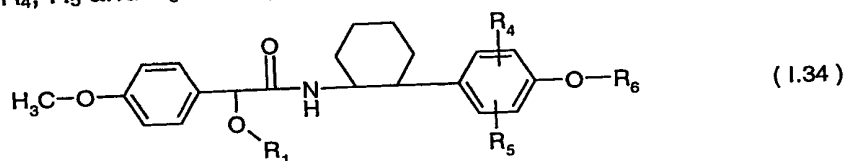


Table 35 : Compounds represented by the Formula 1.35 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

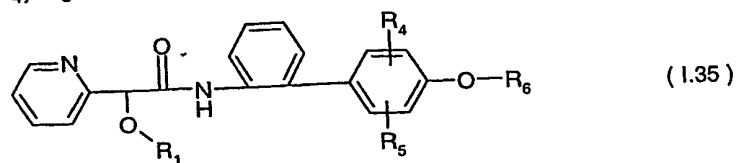


Table 36 : Compounds represented by the Formula 1.36 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

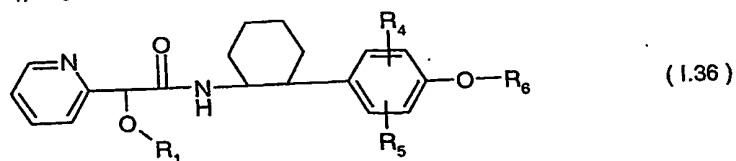


Table 37 : Compounds represented by the Formula I.37 wherein the combination of the groups R₁, R₄, R₅ and R₆ corresponds to each row in table A.

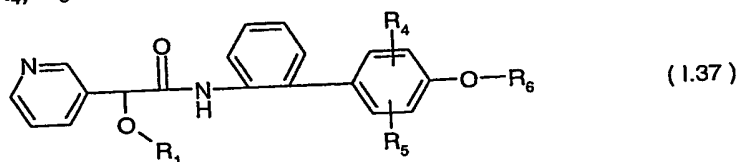


Table 38 : Compounds represented by the Formula I.38 wherein the combination of the groups R₁, R₄, R₅ and R₆ corresponds to each row in table A.

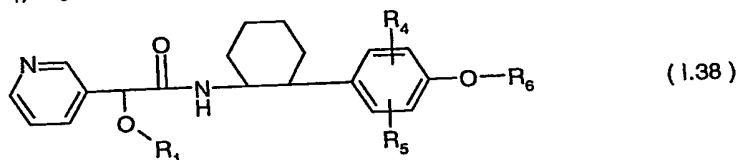


Table 39 : Compounds represented by the Formula I.39 wherein the combination of the groups R₁, R₄, R₅ and R₆ corresponds to each row in table A.

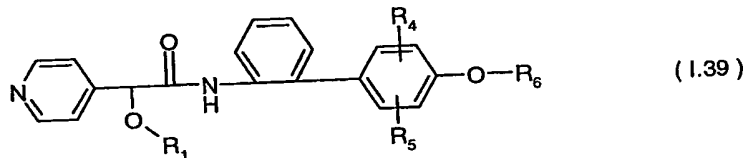


Table 40 : Compounds represented by the Formula I.40 wherein the combination of the groups R₁, R₄, R₅ and R₆ corresponds to each row in table A.

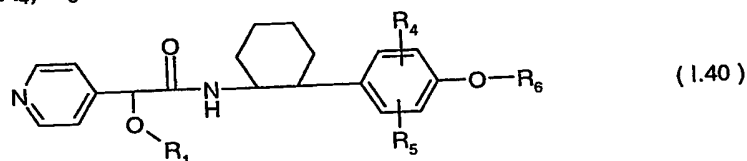


Table 41 : Compounds represented by the Formula I.41 wherein the combination of the groups R₁, R₄, R₅ and R₆ corresponds to each row in table A.

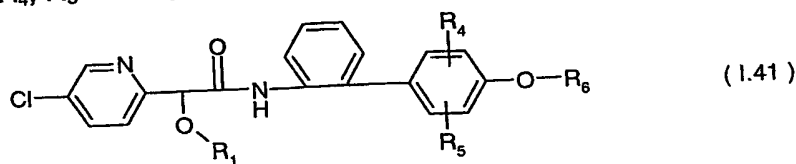


Table 42 : Compounds represented by the Formula I.42 wherein the combination of the groups R₁, R₄, R₅ and R₆ corresponds to each row in table A.

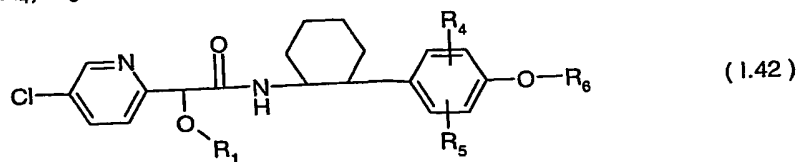


Table 43 : Compounds represented by the Formula 1.43 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

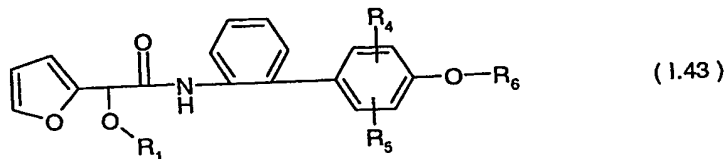


Table 44 : Compounds represented by the Formula 1.44 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

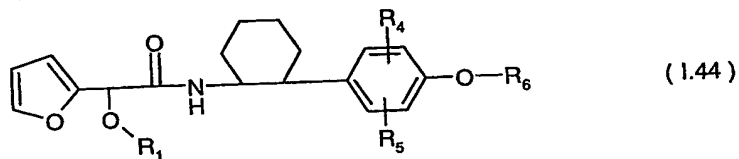


Table 45 : Compounds represented by the Formula 1.45 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

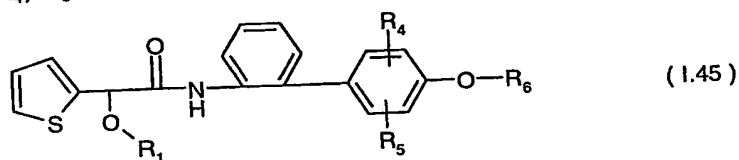


Table 46 : Compounds represented by the Formula 1.46 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

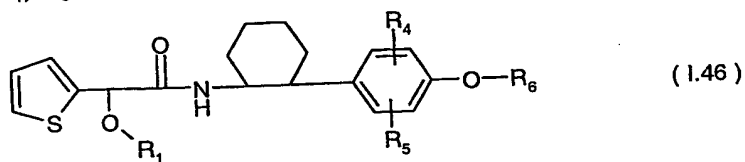


Table 47 : Compounds represented by the Formula 1.47 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

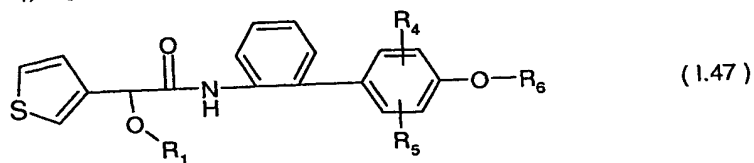


Table 48 : Compounds represented by the Formula 1.48 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

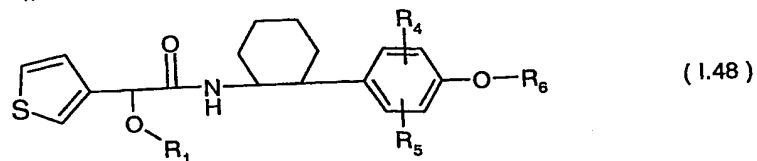


Table 49 : Compounds represented by the Formula 1.49 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.

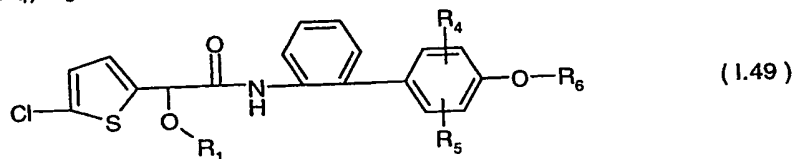
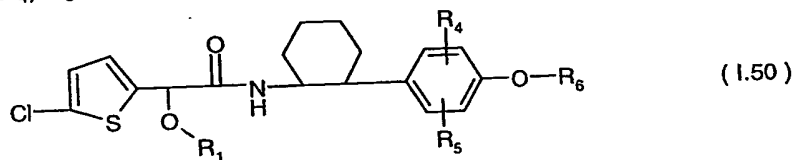
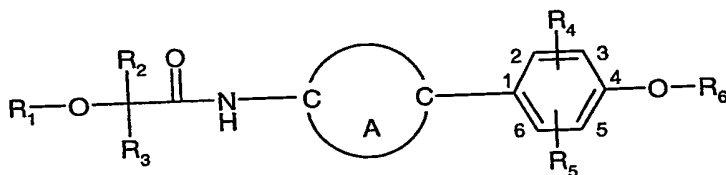


Table 50 : Compounds represented by the Formula 1.50 wherein the combination of the groups R_1 , R_4 , R_5 and R_6 corresponds to each row in table A.



In Table A the designation Ph stands for phenyl.

Table A



No.	R_1	R_4	R_5	R_6
001	H-	H-	H-	-H
002	H-	H-	H-	-CH ₃
003	H-	H-	H-	-CH ₂ -CH ₃
004	H-	H-	H-	-CH ₂ -CH ₂ -CH ₃
005	H-	H-	H-	-CH ₂ -CH=CH ₂
006	H-	H-	H-	-CH ₂ -CH=CH-CH ₃
007	H-	H-	H-	-CH ₂ -(CH ₃)C=CH ₂
008	H-	H-	H-	-CH ₂ -CH=CHCl
009	H-	H-	H-	-CH ₂ -C≡CH
010	H-	H-	H-	-CH ₂ -C≡C-CH ₃
011	H-	H-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
012	H-	H-	H-	-CH ₂ -C≡C-(CH ₂) ₂ -CH ₃

013	H-	H-	H-	-CH ₂ -C≡C-CH-(CH ₃) ₂
014	H-	H-	H-	-CH ₂ -C≡C-C ₃ H ₅ -cycl
015	H-	H-	H-	-CH ₂ -Ph
016	CH ₃ -	H-	H-	-H
017	CH ₃ -	H-	H-	-CH ₃
018	CH ₃ -	H-	H-	-CH ₂ -CH ₃
019	CH ₃ -	H-	H-	-CH ₂ -CH ₂ -CH ₃
020	CH ₃ -	H-	H-	-CH ₂ -CH=CH ₂
021	CH ₃ -	H-	H-	-CH ₂ -CH=CH-CH ₃
022	CH ₃ -	H-	H-	-CH ₂ -(CH ₃)C=CH ₂
023	CH ₃ -	H-	H-	-CH ₂ -CH=CHCl
024	CH ₃ -	H-	H-	-CH ₂ -C≡CH
025	CH ₃ -	H-	H-	-CH ₂ -C≡C-CH ₃
026	CH ₃ -	H-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
027	CH ₃ -	H-	H-	-CH ₂ -C≡C-(CH ₂) ₂ -CH ₃
028	CH ₃ -	H-	H-	-CH ₂ -C≡C-CH-(CH ₃) ₂
029	CH ₃ -	H-	H-	-CH ₂ -C≡C-C ₃ H ₅ -cycl
030	CH ₃ -	H-	H-	-CH ₂ -Ph
031	CH ₃ -CH ₂ -	H-	H-	-H
032	CH ₃ -CH ₂ -	H-	H-	-CH ₃
033	CH ₃ -CH ₂ -	H-	H-	-CH ₂ -CH ₃
034	CH ₃ -CH ₂ -	H-	H-	-CH ₂ -CH ₂ -CH ₃
035	CH ₃ -CH ₂ -	H-	H-	-CH ₂ -CH=CH ₂
036	CH ₃ -CH ₂ -	H-	H-	-CH ₂ -CH=CH-CH ₃
037	CH ₃ -CH ₂ -	H-	H-	-CH ₂ -(CH ₃)C=CH ₂
038	CH ₃ -CH ₂ -	H-	H-	-CH ₂ -CH=CHCl
039	CH ₃ -CH ₂ -	H-	H-	-CH ₂ -C≡CH
040	CH ₃ -CH ₂ -	H-	H-	-CH ₂ -C≡C-CH ₃
041	CH ₃ -CH ₂ -	H-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
042	CH ₃ -CH ₂ -	H-	H-	-CH ₂ -C≡C-(CH ₂) ₂ -CH ₃
043	CH ₃ -CH ₂ -	H-	H-	-CH ₂ -C≡C-CH-(CH ₃) ₂
044	CH ₃ -CH ₂ -	H-	H-	-CH ₂ -C≡C-C ₃ H ₅ -cycl

045	CH ₃ -CH ₂ -	H-	H-	-CH ₂ -Ph
046	HC≡CCH ₂ -	H-	H-	-H
047	HC≡CCH ₂ -	H-	H-	-CH ₃
048	HC≡CCH ₂ -	H-	H-	-CH ₂ -CH ₃
049	HC≡CCH ₂ -	H-	H-	-CH ₂ -CH ₂ -CH ₃
050	HC≡CCH ₂ -	H-	H-	-CH ₂ -CH=CH ₂
051	HC≡CCH ₂ -	H-	H-	-CH ₂ -CH=CH-CH ₃
052	HC≡CCH ₂ -	H-	H-	-CH ₂ -(CH ₃)C=CH ₂
053	HC≡CCH ₂ -	H-	H-	-CH ₂ -CH=CHCl
054	HC≡CCH ₂ -	H-	H-	-CH ₂ -C≡CH
055	HC≡CCH ₂ -	H-	H-	-CH ₂ -C≡C-CH ₃
056	HC≡CCH ₂ -	H-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
057	HC≡CCH ₂ -	H-	H-	-CH ₂ -C≡C-(CH ₂) ₂ -CH ₃
058	HC≡CCH ₂ -	H-	H-	-CH ₂ -C≡C-CH-(CH ₃) ₂
059	HC≡CCH ₂ -	H-	H-	-CH ₂ -C≡C-C ₃ H ₅ -cycl
060	HC≡CCH ₂ -	H-	H-	-CH ₂ -Ph
061	H-	3-CH ₃ -O-	H-	-H
062	H-	3-CH ₃ -O-	H-	-CH ₃
063	H-	3-CH ₃ -O-	H-	-CF ₃
064	H-	3-CH ₃ -O-	H-	-CHF ₂
065	H-	3-CH ₃ -O-	H-	-CH ₂ -CH ₃
066	H-	3-CH ₃ -O-	H-	-CH ₂ -CH ₂ -CH ₃
067	H-	3-CH ₃ -O-	H-	-CH ₂ -CH=CH ₂
068	H-	3-CH ₃ -O-	H-	-CH ₂ -CH=CH-CH ₃
069	H-	3-CH ₃ -O-	H-	-CH ₂ -(CH ₃)C=CH ₂
070	H-	3-CH ₃ -O-	H-	-CH ₂ -CH=CHCl
071	H-	3-CH ₃ -O-	H-	-CH ₂ -C≡CH
072	H-	3-CH ₃ -O-	H-	-CH(CH ₃)-C≡CH
073	H-	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH ₃
074	H-	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
075	H-	3-CH ₃ -O-	H-	-CH ₂ -C≡C-(CH ₂) ₂ -CH ₃
076	H-	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH-(CH ₃) ₂

077	H-	3-CH ₃ -O-	H-	-CH ₂ -C≡C-(CH ₂) ₄ -CH ₃
078	H-	3-CH ₃ -O-	H-	-CH ₂ -C≡C-C ₃ H ₅ -cycl
079	H-	3-CH ₃ -O-	H-	-CH ₂ -C≡C-C ₆ H ₁₁ -cycl
080	H-	3-CH ₃ -O-	H-	-CH ₂ -Ph
081	H-	3-CH ₃ -O-	H-	-CH ₂ -(4-Cl-Ph)
082	H-	3-CH ₃ -O-	H-	-CH ₂ -C≡C-Ph
083	H-	3-CH ₃ -O-	H-	-CH ₂ -C≡C-(4-Cl-Ph)
084	H-	3-CH ₃ -O-	H-	-CH ₂ -CH ₂ -O-Ph
085	H-	3-CH ₃ -O-	H-	-CH ₂ -CH ₂ -O-CH ₃
086	CH ₃ -	3-CH ₃ -O-	H-	-H
087	CH ₃ -	3-CH ₃ -O-	H-	-CH ₃
088	CH ₃ -	3-CH ₃ -O-	H-	-CF ₃
089	CH ₃ -	3-CH ₃ -O-	H-	-CHF ₂
090	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -CH ₃
091	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -CH ₂ -CH ₃
092	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -CH=CH ₂
093	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -CH=CH-CH ₃
094	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -(CH ₃)C=CH ₂
095	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -CH=CHCl
096	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -C≡CH
097	CH ₃ -	3-CH ₃ -O-	H-	-CH(CH ₃)-C≡CH
098	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH ₃
099	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
100	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-(CH ₂) ₂ -CH ₃
101	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH-(CH ₃) ₂
102	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-(CH ₂) ₄ -CH ₃
103	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-C ₃ H ₅ -cycl
104	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-C ₆ H ₁₁ -cycl
105	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -Ph
106	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -(4-Cl-Ph)
107	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-Ph
108	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-(4-Cl-Ph)

109	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -CH ₂ -O-Ph
110	CH ₃ -	3-CH ₃ -O-	H-	-CH ₂ -CH ₂ -O-CH ₃
111	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-H
112	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₃
113	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CF ₃
114	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CHF ₂
115	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -CH ₃
116	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -CH ₂ -CH ₃
117	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -CH=CH ₂
118	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -CH=CH-CH ₃
119	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -(CH ₃)C=CH ₂
120	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -CH=CHCl
121	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡CH
122	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH(CH ₃)-C≡CH
123	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH ₃
124	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
125	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-(CH ₂) ₂ -CH ₃
126	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH-(CH ₃) ₂
127	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-(CH ₂) ₄ -CH ₃
128	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-C ₃ H ₅ -cycl
129	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-C ₆ H ₁₁ -cycl
130	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -Ph
131	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -(4-Cl-Ph)
132	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-Ph
133	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-(4-Cl-Ph)
134	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -CH ₂ -O-Ph
135	CH ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -CH ₂ -O-CH ₃
136	HC≡CCH ₂ -	3-CH ₃ -O-	H-	-H
137	HC≡CCH ₂ -	3-CH ₃ -O-	H-	-CH ₃
138	HC≡CCH ₂ -	3-CH ₃ -O-	H-	-CF ₃
139	HC≡CCH ₂ -	3-CH ₃ -O-	H-	-CHF ₂
140	HC≡CCH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -CH ₃

141	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-CH}_2\text{-CH}_3$
142	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-CH=CH}_2$
143	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-CH=CH-CH}_3$
144	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-(CH}_3\text{)C=CH}_2$
145	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-CH=CHCl}$
146	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{CH}$
147	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH(CH}_3\text{)-C}\equiv\text{CH}$
148	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{C-CH}_3$
149	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{C-CH}_2\text{-CH}_3$
150	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{C-(CH}_2\text{)}_2\text{-CH}_3$
151	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{C-CH-(CH}_3\text{)}_2$
152	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{C-(CH}_2\text{)}_4\text{-CH}_3$
153	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{C-C}_3\text{H}_5\text{-cycl}$
154	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{C-C}_6\text{H}_{11}\text{-cycl}$
155	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-Ph}$
156	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-(4-Cl-Ph)}$
157	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{C-Ph}$
158	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{C-(4-Cl-Ph)}$
159	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-CH}_2\text{-O-Ph}$
160	$\text{HC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-CH}_2\text{-O-CH}_3$
161	$\text{H}_3\text{CC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	-H
162	$\text{H}_3\text{CC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_3$
163	$\text{H}_3\text{CC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-CH}_3$
164	$\text{H}_3\text{CC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{CH}$
165	$\text{H}_3\text{CC}\equiv\text{CCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{C-CH}_2\text{-CH}_3$
166	$\text{H}_2\text{C=CHCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	-H
167	$\text{H}_2\text{C=CHCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_3$
168	$\text{H}_2\text{C=CHCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-CH}_3$
169	$\text{H}_2\text{C=CHCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{CH}$
170	$\text{H}_2\text{C=CHCH}_2^-$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_2\text{-C}\equiv\text{C-CH}_2\text{-CH}_3$
171	$\text{CH}_2\text{F-}$	$3\text{-CH}_3\text{-O-}$	H-	-H
172	$\text{CH}_2\text{F-}$	$3\text{-CH}_3\text{-O-}$	H-	$-\text{CH}_3$

173	CH ₂ F-	3-CH ₃ -O-	H-	-CH ₂ -CH ₃
174	CH ₂ F-	3-CH ₃ -O-	H-	-CH ₂ -C≡CH
175	CH ₂ F-	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
176	CHF ₂ -	3-CH ₃ -O-	H-	-H
177	CHF ₂ -	3-CH ₃ -O-	H-	-CH ₃
178	CHF ₂ -	3-CH ₃ -O-	H-	-CH ₂ -CH ₃
179	CHF ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡CH
180	CHF ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
181	CF ₃ -	3-CH ₃ -O-	H-	-H
182	CF ₃ -	3-CH ₃ -O-	H-	-CH ₃
183	CF ₃ -	3-CH ₃ -O-	H-	-CH ₂ -CH ₃
184	CF ₃ -	3-CH ₃ -O-	H-	-CH ₂ -C≡CH
185	CF ₃ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
186	CF ₃ -CH ₂ -	3-CH ₃ -O-	H-	-H
187	CF ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₃
188	CF ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -CH ₃
189	CF ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡CH
190	CF ₃ -CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
191	CH ₃ CH ₂ CH ₂ -	3-CH ₃ -O-	H-	-H
192	CH ₃ CH ₂ CH ₂ -	3-CH ₃ -O-	H-	-CH ₃
193	CH ₃ CH ₂ CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -CH ₃
194	CH ₃ CH ₂ CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡CH
195	CH ₃ CH ₂ CH ₂ -	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
196	(CH ₃) ₂ CH-	3-CH ₃ -O-	H-	-H
197	(CH ₃) ₂ CH-	3-CH ₃ -O-	H-	-CH ₃
198	(CH ₃) ₂ CH-	3-CH ₃ -O-	H-	-CH ₂ -CH ₃
199	(CH ₃) ₂ CH-	3-CH ₃ -O-	H-	-CH ₂ -C≡CH
200	(CH ₃) ₂ CH-	3-CH ₃ -O-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
201	H-	3-CH ₃ -CH ₂ -O-	H-	-H
202	H-	3-CH ₃ -CH ₂ -O-	H-	-CH ₃
203	H-	3-CH ₃ -CH ₂ -O-	H-	-CH ₂ -CH ₃
204	H-	3-CH ₃ -CH ₂ -O-	H-	-CH ₂ -C≡CH

205	H-	3-CH ₃ -CH ₂ -O-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
206	CH ₃ -	3-CH ₃ -CH ₂ -O-	H-	-H
207	CH ₃ -	3-CH ₃ -CH ₂ -O-	H-	-CH ₃
208	CH ₃ -	3-CH ₃ -CH ₂ -O-	H-	-CH ₂ -CH ₃
209	CH ₃ -	3-CH ₃ -CH ₂ -O-	H-	-CH ₂ -C≡CH
210	CH ₃ -	3-CH ₃ -CH ₂ -O-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
211	CH ₃ CH ₂ -	3-CH ₃ -CH ₂ -O-	H-	-H
212	CH ₃ CH ₂ -	3-CH ₃ -CH ₂ -O-	H-	-CH ₃
213	CH ₃ CH ₂ -	3-CH ₃ -CH ₂ -O-	H-	-CH ₂ -CH ₃
214	CH ₃ CH ₂ -	3-CH ₃ -CH ₂ -O-	H-	-CH ₂ -C≡CH
215	CH ₃ CH ₂ -	3-CH ₃ -CH ₂ -O-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
216	HC≡CCH ₂ -	3-CH ₃ -CH ₂ -O-	H-	-H
217	HC≡CCH ₂ -	3-CH ₃ -CH ₂ -O-	H-	-CH ₃
218	HC≡CCH ₂ -	3-CH ₃ -CH ₂ -O-	H-	-CH ₂ -CH ₃
219	HC≡CCH ₂ -	3-CH ₃ -CH ₂ -O-	H-	-CH ₂ -C≡CH
220	HC≡CCH ₂ -	3-CH ₃ -CH ₂ -O-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
221	H-	3-CH ₃ -	H-	-H
222	H-	3-CH ₃ -	H-	-CH ₃
223	H-	3-CH ₃ -	H-	-CH ₂ -CH ₃
224	H-	3-CH ₃ -	H-	-CH ₂ -C≡CH
225	H-	3-CH ₃ -	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
226	CH ₃ -	3-CH ₃ -	H-	-H
227	CH ₃ -	3-CH ₃ -	H-	-CH ₃
228	CH ₃ -	3-CH ₃ -	H-	-CH ₂ -CH ₃
229	CH ₃ -	3-CH ₃ -	H-	-CH ₂ -C≡CH
230	CH ₃ -	3-CH ₃ -	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
231	CH ₃ CH ₂ -	3-CH ₃ -	H-	-H
232	CH ₃ CH ₂ -	3-CH ₃ -	H-	-CH ₃
233	CH ₃ CH ₂ -	3-CH ₃ -	H-	-CH ₂ -CH ₃
234	CH ₃ CH ₂ -	3-CH ₃ -	H-	-CH ₂ -C≡CH
235	CH ₃ CH ₂ -	3-CH ₃ -	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
236	HC≡CCH ₂ -	3-CH ₃ -	H-	-H

237	$\text{HC}\equiv\text{CCH}_2-$	3- CH_3-	H-	- CH_3
238	$\text{HC}\equiv\text{CCH}_2-$	3- CH_3-	H-	- CH_2-CH_3
239	$\text{HC}\equiv\text{CCH}_2-$	3- CH_3-	H-	- $\text{CH}_2-\text{C}\equiv\text{CH}$
240	$\text{HC}\equiv\text{CCH}_2-$	3- CH_3-	H-	- $\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_3$
241	H-	3-Cl-	H-	-H
242	H-	3-Cl-	H-	- CH_3
243	H-	3-Cl-	H-	- CH_2-CH_3
244	H-	3-Cl-	H-	- $\text{CH}_2-\text{C}\equiv\text{CH}$
245	H-	3-Cl-	H-	- $\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_3$
246	CH_3-	3-Cl-	H-	-H
247	CH_3-	3-Cl-	H-	- CH_3
248	CH_3-	3-Cl-	H-	- CH_2-CH_3
249	CH_3-	3-Cl-	H-	- $\text{CH}_2-\text{C}\equiv\text{CH}$
250	CH_3-	3-Cl-	H-	- $\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_3$
251	CH_3CH_2-	3-Cl-	H-	-H
252	CH_3CH_2-	3-Cl-	H-	- CH_3
253	CH_3CH_2-	3-Cl-	H-	- CH_2-CH_3
254	CH_3CH_2-	3-Cl-	H-	- $\text{CH}_2-\text{C}\equiv\text{CH}$
255	CH_3CH_2-	3-Cl-	H-	- $\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_3$
256	$\text{HC}\equiv\text{CCH}_2-$	3-Cl-	H-	-H
257	$\text{HC}\equiv\text{CCH}_2-$	3-Cl-	H-	- CH_3
258	$\text{HC}\equiv\text{CCH}_2-$	3-Cl-	H-	- CH_2-CH_3
259	$\text{HC}\equiv\text{CCH}_2-$	3-Cl-	H-	- $\text{CH}_2-\text{C}\equiv\text{CH}$
260	$\text{HC}\equiv\text{CCH}_2-$	3-Cl-	H-	- $\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_3$
261	H-	3-Br-	H-	-H
262	H-	3-Br-	H-	- CH_3
263	H-	3-Br-	H-	- CH_2-CH_3
264	H-	3-Br-	H-	- $\text{CH}_2-\text{C}\equiv\text{CH}$
265	H-	3-Br-	H-	- $\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_3$
266	CH_3-	3-Br-	H-	-H
267	CH_3-	3-Br-	H-	- CH_3
268	CH_3-	3-Br-	H-	- CH_2-CH_3

269	CH ₃ -	3-Br-	H-	-CH ₂ -C≡CH
270	CH ₃ -	3-Br-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
271	CH ₃ CH ₂ -	3-Br-	H-	-H
272	CH ₃ CH ₂ -	3-Br-	H-	-CH ₃
273	CH ₃ CH ₂ -	3-Br-	H-	-CH ₂ -CH ₃
274	CH ₃ CH ₂ -	3-Br-	H-	-CH ₂ -C≡CH
275	CH ₃ CH ₂ -	3-Br-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
276	HC≡CCH ₂ -	3-Br-	H-	-H
277	HC≡CCH ₂ -	3-Br-	H-	-CH ₃
278	HC≡CCH ₂ -	3-Br-	H-	-CH ₂ -CH ₃
279	HC≡CCH ₂ -	3-Br-	H-	-CH ₂ -C≡CH
280	HC≡CCH ₂ -	3-Br-	H-	-CH ₂ -C≡C-CH ₂ -CH ₃
281	H-	3-CH ₃ -O-	5-CH ₃ -O-	-H
282	H-	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₃
283	H-	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₂ -CH ₃
284	H-	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₂ -C≡CH
285	H-	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₂ -C≡C-CH ₂ -CH ₃
286	CH ₃ -	3-CH ₃ -O-	5-CH ₃ -O-	-H
287	CH ₃ -	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₃
288	CH ₃ -	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₂ -CH ₃
289	CH ₃ -	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₂ -C≡CH
290	CH ₃ -	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₂ -C≡C-CH ₂ -CH ₃
291	CH ₃ CH ₂ -	3-CH ₃ -O-	5-CH ₃ -O-	-H
292	CH ₃ CH ₂ -	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₃
293	CH ₃ CH ₂ -	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₂ -CH ₃
294	CH ₃ CH ₂ -	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₂ -C≡CH
295	CH ₃ CH ₂ -	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₂ -C≡C-CH ₂ -CH ₃
296	HC≡CCH ₂ -	3-CH ₃ -O-	5-CH ₃ -O-	-H
297	HC≡CCH ₂ -	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₃
298	HC≡CCH ₂ -	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₂ -CH ₃
299	HC≡CCH ₂ -	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₂ -C≡CH
300	HC≡CCH ₂ -	3-CH ₃ -O-	5-CH ₃ -O-	-CH ₂ -C≡C-CH ₂ -CH ₃

Formulations may be prepared analogously to those described in, for example, WO 95/30651.

Biological Examples

D-1: Action against *Plasmopara viticola* (downy mildew) on vines

5 week old grape seedlings cv. Gutedel are treated with the formulated test compound in a spray chamber. One day after application grape plants are inoculated by spraying a sporangia suspension (4×10^4 sporangia/ml) on the lower leaf side of the test plants. After an incubation period of 6 days at +21°C and 95% r. h. in a greenhouse the disease incidence is assessed.

Compounds of Tables 1 to 44 exhibit a good fungicidal action against *Plasmopara viticola* on vines. Compounds 1.137, 5.137, 5.149, 6.071, 6.146, 7.137, 8.074, 8.146, 9.137, 10.062 and 10.146 at 200 ppm inhibit fungal infestation in this test to at least 80%, while under the same conditions untreated control plants are infected by the phytopathogenic fungi to over 80%.

D-2: Action against *Phytophthora* (late blight) on tomato plants

3 week old tomato plants cv. Roter Gnom are treated with the formulated test compound in a spray chamber. Two day after application the plants are inoculated by spraying a sporangia suspension (2×10^4 sporangia/ml) on the test plants. After an incubation period of 4 days at +18°C and 95% r. h. in a growth chamber the disease incidence is assessed.

Compounds of Tables 1 to 44 exhibit a long-lasting effect against fungus infestation. Compounds 1.137, 5.137, 5.140, 5.149, 6.071, 6.146, 7.137, 8.062, 8.074, 8.146, 9.137, 10.062 and 10.146 at 200 ppm inhibit fungal infestation in this test to at least 80%, while under the same conditions untreated control plants are infected by the phytopathogenic fungi to over 80%.

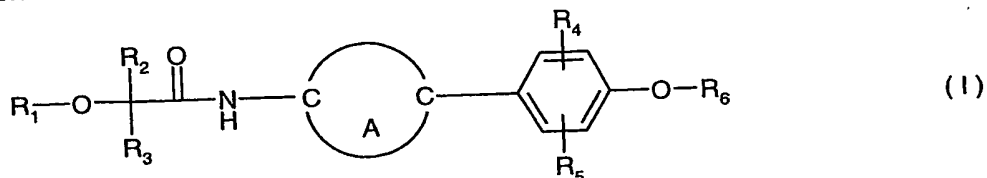
D-3 : Action against *Phytophthora* (late blight) on potato plants

5 week old potato plants cv. Bintje are treated with the formulated test compound in a spray chamber. Two day after application the plants are inoculated by spraying a sporangia suspension (14×10^4 sporangia/ml) on the test plants. After an incubation period of 4 days at +18°C and 95% r. h. in a growth chamber the disease incidence is assessed.

Fungal infestation is effectively controlled with compounds of Tables 1 to 44. Compounds 1.137, 5.149, 6.146, 8.074, 8.146 and 10.062 at 200 ppm inhibit fungal infestation in this test to at least 80%, while under the same conditions untreated control plants are infected by the phytopathogenic fungi to over 80%.

What is claimed is:

1. N-Bisaryl- and N-aryl- cycloalkylidenyl- α -hydroxy- and α -alkoxy acetic acid amides of the general formula I



including the optical isomers thereof and mixtures of such isomers, wherein

R₁ is hydrogen, C₁-C₁₂alkyl; C₂-C₁₂alkenyl; C₂-C₁₂alkynyl; C₁-C₁₂haloalkyl;

R₂ is hydrogen; optionally substituted alkyl; optionally substituted alkenyl or optionally substituted alkynyl;

R₃ is optionally substituted aryl or optionally substituted heteroaryl;

A is optionally substituted saturated or unsaturated C₃-C₈-cycloalkylidene, optionally substituted phenylidene or optionally substituted saturated or unsaturated heterocyclylidene bridge,

R₄ and R₅ are each independently hydrogen or an organic radical, and

R₆ is hydrogen; tri-C₁-C₄alkyl-silyl; di-C₁-C₄alkyl-phenylsilyl; C₁-C₄alkyl-diphenylsilyl; tri-phenylsilyl; optionally substituted alkyl; optionally substituted alkenyl or optionally substituted alkynyl.

2. A compound according to claim 1 wherein R₁ is hydrogen; C₁-C₁₂alkyl; C₂-C₁₂alkenyl; C₂-C₁₂alkynyl or C₁-C₁₂haloalkyl; and R₁ is hydrogen; C₁-C₁₂alkyl, C₂-C₁₂alkenyl; or C₂-C₁₂alkynyl; and R₂ is hydrogen; C₁-C₄alkyl; C₁-C₄haloalkyl; C₂-C₅alkenyl or C₂-C₅alkynyl; and R₃ is aryl or heteroaryl, each optionally substituted with substituents selected from the group comprising alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, phenyl and phenylalkyl, where all these groups may be substituted with one or more halogen atoms; alkoxy; alkenyloxy; alkynyloxy; alkoxy-alkyl; haloalkyl; alkylthio; haloalkylthio; alkylsulfonyl; formyl; alkanoyl; hydroxy; cyano; nitro; amino; alkylamino; dialkylamino; carboxyl; alkoxycarbonyl; alkenyloxycarbonyl and alkynyloxycarbonyl; and A is optionally substituted saturated or unsaturated carbocycle or heterocycle linked to the remainder of the molecule by vicinal ring member carbon atoms; and R₄ is hydrogen; C₁-C₈alkyl; C₂-C₈alkenyl; C₂-C₈alkynyl; C₃-C₈cycloalkyl; C₃-C₈cycloalkyl-C₁-C₄alkyl; C₁-C₈alkylthio; C₁-C₈alkylsulfonyl; C₁-C₈alkoxy;

C_3-C_8 alkenyloxy; C_3-C_8 alkynyloxy; C_3-C_8 cycloalkoxy; C_1-C_8 alkoxy- C_1-C_4 alkyl; C_1-C_8 alkoxycarbonyl; C_3-C_8 alkenyloxycarbonyl; C_3-C_8 alkynyloxycarbonyl; C_1-C_8 alkanoyl; C_1-C_8 dialkylamino or C_1-C_8 alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and R_5 is hydrogen; C_1-C_8 alkyl; C_2-C_8 alkenyl; C_2-C_8 alkynyl; C_3-C_8 cycloalkyl; C_3-C_8 cycloalkyl- C_1-C_4 alkyl; C_1-C_8 alkylthio; C_1-C_8 alkylsulfonyl; C_1-C_8 alkoxy; C_3-C_8 alkenyloxy; C_3-C_8 alkynyloxy; C_3-C_8 cycloalkoxy; C_1-C_8 alkoxy- C_1-C_4 alkyl; C_1-C_8 alkoxycarbonyl; C_3-C_8 alkenyloxycarbonyl; C_3-C_8 alkynyloxycarbonyl; C_1-C_8 alkanoyl; C_1-C_8 dialkylamino or C_1-C_8 alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and R_6 is hydrogen; C_1-C_{10} alkyl; C_3-C_{10} alkenyl; C_3-C_{10} alkynyl; C_1-C_{10} haloalkyl; C_3-C_{10} haloalkenyl; C_3-C_{10} haloalkynyl; benzyl; benzyl substituted with C_1-C_8 alkyl, C_2-C_8 alkenyl, C_2-C_8 alkynyl, C_3-C_8 cycloalkyl, C_3-C_8 cycloalkyl- C_1-C_4 alkyl, C_1-C_8 alkylthio, C_1-C_8 alkylsulfonyl, C_1-C_8 alkoxy, C_3-C_8 alkenyloxy, C_3-C_8 alkynyloxy, C_3-C_8 cycloalkoxy, C_1-C_8 alkoxy- C_1-C_4 alkyl, C_1-C_8 alkenyloxy- C_1-C_4 alkyl, C_1-C_8 alkynyloxy- C_1-C_4 alkyl, C_1-C_8 alkoxycarbonyl, C_3-C_8 alkenyloxycarbonyl, C_3-C_8 alkynyloxycarbonyl, C_1-C_8 alkanoyl, C_1-C_8 dialkylamino, C_1-C_8 alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated, carboxyl; formyl; halogen; nitro; cyano; hydroxy; or amino; or is a group $-CR_7R_8-C\equiv C-B$ wherein R_7 and R_8 are independently hydrogen or C_1-C_4 alkyl; and B is either C_1-C_8 alkyl or C_3-C_8 cycloalkyl; phenyl or phenyl substituted by C_1-C_8 alkyl, C_2-C_8 alkenyl, C_2-C_8 alkynyl, C_3-C_8 cycloalkyl, C_3-C_8 cycloalkyl- C_1-C_4 alkyl, C_1-C_8 alkylthio, C_1-C_8 alkylsulfonyl, C_1-C_8 alkoxy, C_3-C_8 alkenyloxy, C_3-C_8 alkynyloxy, C_3-C_8 cycloalkoxy, C_1-C_8 alkoxy- C_1-C_4 alkyl, C_1-C_8 alkoxycarbonyl, C_3-C_8 alkenyloxycarbonyl, C_3-C_8 alkynyloxycarbonyl, C_1-C_8 alkanoyl, C_1-C_8 dialkylamino, C_1-C_8 alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or is a group $-CR_7R_8-CR_9R_{10}-X-B$ wherein R_7 , R_8 , R_9 and R_{10} are independently hydrogen or C_1-C_4 alkyl; X is $-O-$, $-S-$ or $-NR_{13}-$ where R_{13} is hydrogen or C_1-C_4 alkyl; and B is either C_3-C_8 cycloalkyl; phenyl or phenyl substituted by C_1-C_8 alkyl, C_2-C_8 alkenyl, C_2-C_8 alkynyl, C_3-C_8 cycloalkyl, C_3-C_8 cycloalkyl- C_1-C_4 alkyl, C_1-C_8 alkylthio, C_1-C_8 alkylsulfonyl, C_1-C_8 alkoxy, C_3-C_8 alkenyloxy, C_3-C_8 alkynyloxy, C_3-C_8 cycloalkoxy, C_1-C_8 alkoxy- C_1-C_4 alkyl, C_1-C_8 alkoxycarbonyl, C_3-C_8 alkenyloxycarbonyl, C_3-C_8 alkynyloxycarbonyl, C_1-C_8 alkanoyl, C_1-C_8 dialkylamino, C_1-C_8 alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino.

3. A compound according to claims 1 or 2 wherein R_1 is hydrogen; C_1 - C_{12} alkyl; C_2 - C_{12} alkenyl; C_2 - C_{12} alkynyl or C_1 - C_{12} haloalkyl; and R_2 is hydrogen and R_3 is phenyl; naphthyl or heteroaryl formed by 1 or 2 five- or six-membered rings containing 1 to 4 identical or different heteroatoms selected from oxygen, nitrogen or sulfur, wherein each aromatic rings is optionally mono- or poly-substituted with C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_8 cycloalkyl, C_1 - C_8 alkoxy, C_3 - C_8 alkenyloxy, C_3 - C_8 alkynyloxy, C_3 - C_8 cycloalkyloxy, C_1 - C_8 alkylthio, C_1 - C_8 alkylsulfonyl, C_1 - C_8 alkanoyl, C_1 - C_8 alkoxycarbonyl, C_3 - C_8 alkenyloxycarbonyl, C_3 - C_8 alkynyloxycarbonyl, C_1 - C_8 dialkylamino, C_1 - C_8 alkylamino, wherein in turn the alkyl, alkenyl, alkynyloxy or cycloalkyl moieties may be partially or fully halogenated, or with halogen, nitro, cyano, hydroxy or amino; and A is optionally substituted saturated or unsaturated carbocycle or heterocycle linked to the remainder of the molecule by vicinal ring member carbon atoms; and R_4 is hydrogen; C_1 - C_8 alkyl; C_2 - C_8 alkenyl; C_2 - C_8 alkynyl; C_3 - C_8 cycloalkyl; C_3 - C_8 cycloalkyl- C_1 - C_4 alkyl; C_1 - C_8 alkylthio; C_1 - C_8 alkylsulfonyl; C_1 - C_8 alkoxy; C_3 - C_8 alkenyloxy; C_3 - C_8 alkynyloxy; C_3 - C_8 cycloalkoxy; C_1 - C_8 alkoxy- C_1 - C_4 alkyl; C_1 - C_8 alkoxycarbonyl; C_3 - C_8 alkenyloxycarbonyl; C_3 - C_8 alkynyloxycarbonyl; C_1 - C_8 alkanoyl; C_1 - C_8 dialkylamino or C_1 - C_8 alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and R_5 is hydrogen; C_1 - C_8 alkyl; C_2 - C_8 alkenyl; C_2 - C_8 alkynyl; C_3 - C_8 cycloalkyl; C_3 - C_8 cycloalkyl- C_1 - C_4 alkyl; C_1 - C_8 alkylthio; C_1 - C_8 alkylsulfonyl; C_1 - C_8 alkoxy; C_3 - C_8 alkenyloxy; C_3 - C_8 alkynyloxy; C_3 - C_8 cycloalkoxy; C_1 - C_8 alkoxy- C_1 - C_4 alkyl; C_1 - C_8 alkoxycarbonyl; C_3 - C_8 alkenyloxycarbonyl; C_3 - C_8 alkynyloxycarbonyl; C_1 - C_8 alkanoyl; C_1 - C_8 dialkylamino or C_1 - C_8 alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and R_6 is hydrogen; C_1 - C_{10} alkyl; C_3 - C_{10} alkenyl; C_3 - C_{10} alkynyl; C_1 - C_{10} haloalkyl; C_3 - C_{10} haloalkenyl; C_3 - C_{10} haloalkynyl; benzyl; benzyl substituted with C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkyl- C_1 - C_4 alkyl, C_1 - C_8 alkylthio, C_1 - C_8 alkylsulfonyl, C_1 - C_8 alkoxy, C_3 - C_8 alkenyloxy, C_3 - C_8 alkynyloxy, C_3 - C_8 cycloalkoxy, C_1 - C_8 alkoxy- C_1 - C_4 alkyl, C_1 - C_8 alkenyloxy- C_1 - C_4 alkyl, C_1 - C_8 alkynyloxy- C_1 - C_4 alkyl, C_1 - C_8 alkoxycarbonyl, C_3 - C_8 alkenyloxycarbonyl, C_3 - C_8 alkynyloxycarbonyl, C_1 - C_8 alkanoyl, C_1 - C_8 dialkylamino, C_1 - C_8 alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated, carboxyl; formyl; halogen; nitro; cyano; hydroxy; or amino; a group $-CR_7R_8-C\equiv C-B$ wherein R_7 and R_8 are independently hydrogen or C_1 - C_4 alkyl; and B is either C_1 - C_8 alkyl or C_3 - C_8 cycloalkyl; phenyl or phenyl substituted by C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkyl-

C₁-C₄alkyl, C₁-C₈alkylthio, C₁-C₈alkylsulfonyl, C₁-C₈alkoxy, C₃-C₈alkenyloxy, C₃-C₈alkynyloxy, C₃-C₈cycloalkoxy, C₁-C₈alkoxy-C₁-C₄alkyl, C₁-C₈alkoxycarbonyl, C₃-C₈alkenyloxycarbonyl, C₃-C₈alkynyloxycarbonyl, C₁-C₈alkanoyl, C₁-C₈dialkylamino, C₁-C₈alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; or a group -CR₇R₈-CR₉R₁₀-X-B wherein R₇, R₈, R₉ and R₁₀ are independently hydrogen or C₁-C₄alkyl; X is -O-, -S- or -NR₁₃- where R₁₃ is hydrogen or C₁-C₄alkyl; and B is either C₃-C₈cycloalkyl; phenyl or phenyl substituted by C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₃-C₈cycloalkyl, C₃-C₈cycloalkyl-C₁-C₄alkyl, C₁-C₈alkylthio, C₁-C₈alkylsulfonyl, C₁-C₈alkoxy, C₃-C₈alkenyloxy, C₃-C₈alkynyloxy, C₃-C₈cycloalkoxy, C₁-C₈alkoxy-C₁-C₄alkyl, C₁-C₈alkoxycarbonyl, C₃-C₈alkenyloxycarbonyl, C₃-C₈alkynyloxycarbonyl, C₁-C₈alkanoyl, C₁-C₈dialkylamino, C₁-C₈alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino

4. A compound according to any of claims 1 to 3 wherein R₁ is hydrogen, C₁-C₁₂alkyl, C₂-C₁₂alkynyl or C₁-C₁₂haloalkyl; and R₂ is hydrogen and R₃ is phenyl, naphthyl, furyl, thienyl, imidazolyl, thiazolyl, oxazolyl, pyridyl, pyrimidinyl, benzothienyl, benzothiazolyl, chinolinyl, pyrazolyl, indolyl, benzimidazolyl or pyrrolyl, wherein each of the aromatic rings is optionally substituted with 1 to 3 substituents selected from C₁-C₈alkyl, C₂-C₈alkenyl, C₃-C₈cycloalkyl, C₁-C₈alkoxy, C₁-C₈alkylthio, C₁-C₈alkoxycarbonyl, C₁-C₈haloalkyl, C₁-C₈haloalkoxy, C₁-C₈haloalkylthio, halogen, nitro or cyano; and A is optionally substituted 1,2-phenylene; optionally substituted 2,3-pyridinylidene; optionally substituted 3,4-pyridinylidene; optionally substituted 2,3-thiophenylidene; optionally substituted 4,5-thiazolylidene; optionally substituted 1,2-cyclohexylidene; optionally substituted 1,2-cyclopentylidene; optionally substituted 3,4-tetrahydrofuranlylidene or optionally substituted 1,2-cyclopropylidene; and R₄ is hydrogen; C₁-C₈alkyl; C₁-C₈haloalkyl; C₂-C₈alkenyl; C₂-C₈alkynyl; C₁-C₈alkylthio; C₁-C₈haloalkylthio; C₁-C₈alkoxy; C₁-C₈haloalkoxy; C₁-C₈alkoxy-C₁-C₄alkyl; C₁-C₈alkoxycarbonyl; C₁-C₈alkanoyl; formyl; halogen; nitro; cyano or hydroxy; and R₅ is hydrogen; C₁-C₄alkyl; C₁-C₄haloalkyl; C₁-C₄alkoxy; C₁-C₄alkoxycarbonyl; C₁-C₄alkanoyl; formyl; halogen; cyano or hydroxy; and R₆ is hydrogen; C₁-C₈alkyl; C₃-C₈alkenyl; C₃-C₈alkynyl; C₁-C₆alkoxy-C₁-C₄alkyl; C₃-C₆alkenyloxy-C₁-C₄alkyl; C₃-C₆alkynyloxy-C₁-C₄alkyl; benzyl; benzyl substituted with C₁-C₈alkyl, C₂-C₈alkenyl, C₂-C₈alkynyl, C₁-C₈alkylthio, C₁-C₈alkoxy, C₁-C₈haloalkyl, halogen, nitro or cyano; a group -CH₂-C≡C-B where B is either C₁-C₈alkyl or C₃-C₈cycloalkyl, phenyl or phenyl substituted with C₁-C₈alkyl, C₁-C₈alkylthio, C₁-C₈alkoxy,

C₁-C₈haloalkyl, halogen, nitro or cyano; or a group -CH₂-CH₂-O-B where B is either C₃-C₆cycloalkyl, phenyl or phenyl substituted with C₁-C₈-alkyl, C₁-C₈-alkylthio, C₁-C₈-alkoxy, C₁-C₈-haloalkyl, halogen, nitro or cyano.

5. A compound according to any of claims 1 to 4 wherein R₁ is hydrogen, C₁-C₄alkyl, or C₂-C₅alkynyl; and R₂ is hydrogen and R₃ is phenyl or phenyl substituted with 1 to 3 substituents selected from C₁-C₈alkyl, C₂-C₈alkenyl, C₃-C₈cycloalkyl, C₁-C₈alkoxy, C₁-C₈alkylthio, C₁-C₈alkoxycarbonyl, C₁-C₈haloalkyl, C₁-C₈haloalkoxy, C₁-C₈haloalkylthio, halogen, nitro or cyano; and A is 1,2-phenylene; 2,3-pyridinylidene; 3,4-pyridinylidene or 2,3-thiophenylidene; each optionally substituted with halogen, C₁-C₆alkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆alkoxycarbonyl, nitro or cyano; or is 1,2-cyclohexylidene; 1,2-cyclopentylidene; 3,4-tetrahydrofuranlylidene or 1,2-cyclopropylidene, each optionally substituted with C₁-C₆-alkyl; and R₄ is hydrogen; C₁-C₄alkyl; C₁-C₄alkoxy; C₁-C₄haloalkoxy or halogen; and R₅ is hydrogen; C₁-C₄alkyl; halogen or cyano; and R₆ is C₁-C₆alkyl; C₃-C₆alkenyl; C₃-C₆alkynyl; C₁-C₆alkoxy-C₁-C₄alkyl; C₃-C₆alkenyloxy-C₁-C₄alkyl; C₃-C₆alkynyloxy-C₁-C₄alkyl; benzyl; benzyl substituted with C₁-C₄alkyl; C₁-C₈haloalkyl or halogen; a group -CH₂-C≡C-B where B is either C₃-C₆cycloalkyl, phenyl or phenyl substituted with by C₁-C₄alkyl or halogen, or a group -CH₂-CH₂-O-B where B is either C₃-C₆cycloalkyl, phenyl or phenyl substituted with C₁-C₈alkyl or halogen.

6. A compound according to any of claims 1 to 5 wherein R₁ is hydrogen or C₂-C₅alkynyl; and R₂ is hydrogen and R₃ is phenyl; C₁-C₄alkylphenyl or halophenyl; and A is 1,2-phenylene; 1,2-cyclohexylidene or 1,2-cyclopropylidene; and R₄ is hydrogen; methoxy or ethoxy; and R₅ is hydrogen; and R₆ is C₁-C₆alkyl; C₃-C₆alkenyl; C₃-C₆alkynyl; C₁-C₆alkoxy-C₁-C₄alkyl; C₃-C₆alkenyloxy-C₁-C₄alkyl; C₃-C₆alkynyloxy-C₁-C₄alkyl; benzyl; benzyl substituted with C₁-C₄alkyl, C₁-C₈haloalkyl or halogen; a group -CH₂-C≡C-B where B is either C₃-C₆cycloalkyl, phenyl or phenyl substituted with C₁-C₄alkyl or halogen; or a group -CH₂-CH₂-O-B where B is either C₃-C₆cycloalkyl, phenyl or phenyl substituted with C₁-C₈alkyl or halogen.

7. A compound according to any one of claims 1 to 6 wherein R₁ is hydrogen or propargyl; and R₂ is hydrogen; and R₃ is phenyl optionally substituted by one to two substituents selected from the group comprising methyl, ethyl, methoxy, fluoro, chloro, bromo, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; and A is 1,2-phenylene or 1,2-cyclohexylidene; and R₄ is hydrogen or methoxy; and R₅ is hydrogen;

and R₆ is selected from methyl, ethyl propyl, allyl, butenyl, propargyl, butynyl, pentynyl, cyclopropylpropargyl, phenylpropargyl, bromophenylpropargyl and chlorophenylpropargyl.

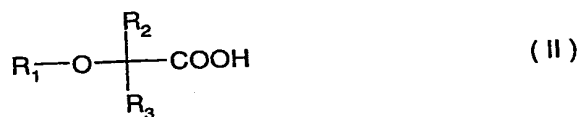
8. A compound according to any one of claims 1 to 7 wherein R₁ is propargyl; and R₂ is hydrogen; and R₃ is phenyl optionally substituted by one to two substituents selected from the group comprising fluoro, chloro and bromo, or is phenyl optionally substituted by one substituent selected from the group comprising methyl, ethyl, methoxy, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; and A is 1,2-phenylene or 1,2-cyclohexylidene; and R₄ is hydrogen or methoxy; and R₅ is hydrogen; and R₆ is selected from methyl, ethyl, propargyl, 3-butynyl and 3-pentynyl.

9. A compound according to claim 1 selected from the group comprising
N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-2-phenyl-acetamide,
2-(4-chlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-acetamide,
2-(4-bromophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-acetamide,
2-(3,4-dichlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-hydroxy-acetamide,
N-(3',4'-dimethoxy-biphenyl-2-yl)-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(4-bromophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-(3,4-dichlorophenyl)-N-(3',4'-dimethoxy-biphenyl-2-yl)-2-prop-2-ynyloxy-acetamide,
2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-acetamide,
2-(4-bromophenyl)-2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-acetamide,
2-(3,4-dichlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-acetamide,
N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-
acetamide,
2-(4-bromophenyl)-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-
acetamide,
2-(3,4-dichlorophenyl)-N-(3'-methoxy-4'-prop-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-
acetamide,
2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-acetamide,
2-(4-bromophenyl)-2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-acetamide,

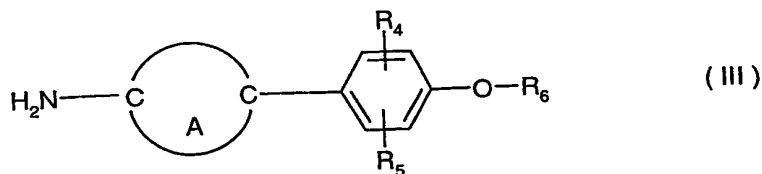
2-(3,4-dichlorophenyl)-2-hydroxy-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-acetamide,
N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-
acetamide,
2-(4-bromophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-
acetamide,
2-(3,4-dichlorophenyl)-N-(3'-methoxy-4'-pent-2-ynyloxy-biphenyl-2-yl)-2-prop-2-ynyloxy-
acetamide,
N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-2-phenyl-acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-acetamide,
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-hydroxy-acetamide,
N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-phenyl-2-prop-2-ynyloxy-acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-
acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-
acetamide,
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3,4-dimethoxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-
acetamide,
2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-acetamide,
2-(4-chlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-
acetamide,
2-(4-bromophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-
acetamide,
2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-
cyclohexyl]-acetamide,
N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-2-prop-2-ynyloxy-
acetamide,
2-(4-chlorophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-
ynyloxy-acetamide,
2-(4-bromophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-
ynyloxy-acetamide,
2-(3,4-dichlorophenyl)-N-[*trans*-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-

ynyloxy-acetamide,
 2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-acetamide,
 2-(4-chlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-
 acetamide,
 2-(4-bromophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-
 acetamide,
 2-(3,4-dichlorophenyl)-2-hydroxy-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-
 cyclohexyl]-acetamide,
 N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-2-prop-2-ynyloxy-
 acetamide,
 2-(4-chlorophenyl)-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-
 ynyloxy-acetamide,
 2-(4-bromophenyl)-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-
 ynyloxy-acetamide, and
 2-(3,4-dichlorophenyl)-N-[*trans*-2-(3-methoxy-4-pent-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-
 ynyloxy-acetamide.

10. A process for the preparation of a compound of formula I according to claim 1, which comprises reacting an α -hydroxy- or α -alkoxy acid of formula II



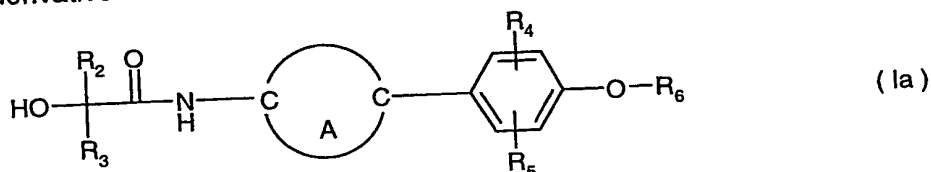
wherein R_1 , R_2 and R_3 are as defined for formula I, or a carboxyl-activated derivative of the acid of formula II, is reacted with an amine of formula III wherein A, R_4 , R_5 and R_6 , are as defined for formula I, with an amine of formula III



wherein A, R_4 , R_5 and R_6 , are as defined for formula I.

11. A process for the preparation of a compound of formula I wherein R_1 is as defined in claim 1 with the exception of hydrogen, which process comprises reacting an α -hydroxy

acid derivative of formula Ia

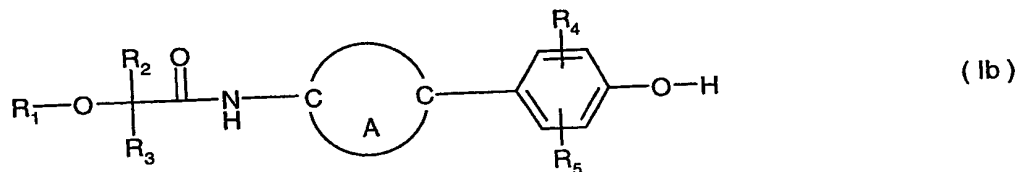


wherein A, R₂, R₃, R₄, R₅ and R₆ are as defined for formula I, with an alkyl-, alkenyl- or alkynylhalide of formula IV



wherein R₁ is as defined for formula I, with the exception of hydrogen, and where X is a leaving group like a halide such as a chloride or bromide, or a sulfonic ester such as a tosylate, mesylate or triflate.

12. A process for the preparation of a compound of formula I wherein R₆ is as defined in claim 1 with the exception of hydrogen, which process comprises reacting a phenol of formula Ib



where A, R₁, R₂, R₃, R₄, and R₅ are as defined for formula I, with a compound of formula V



where R₆ is as defined for formula I but is not hydrogen and where Y is a leaving group like a halide such as a chloride or bromide or a sulfonic ester such as a tosylate, mesylate or triflate.

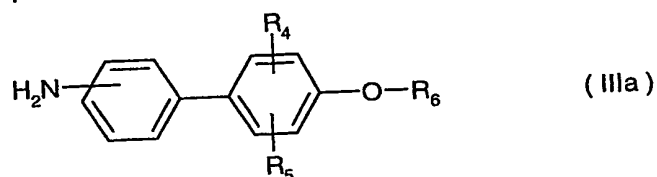
13. A composition for controlling and protecting against phytopathogenic microorganisms, comprising a compound of formula I according to claim 1 as active ingredient together with a suitable carrier.

14. The use of a compound of formula I according to claim 1 in protecting plants against infestation by phytopathogenic microorganisms.

15. A method of controlling and preventing an infestation of crop plants by phytopatho-

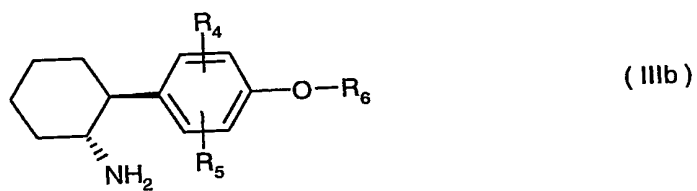
genic microorganisms, preferably fungal organisms, which comprises the application of a compound of formula I according to claim 1 as active ingredient to the plant, to parts of plants or to the locus thereof.

16. A compound of formula IIIa



wherein R_4 , R_5 and R_6 are as defined for formula I in claim 1.

17. A compound of formula IIIb



wherein R_4 , R_5 and R_6 are as defined for formula I in claim 1.

INTERNATIONAL SEARCH REPORT

International Application No.
PCT/EP 03/08057

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07C235/38 C07C235/36 C07C215/74 C07C217/80 C07C215/64
C07C217/74

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

BEILSTEIN Data, CHEM ABS Data, EPO-Internal, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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X	WO 94 29267 A (HOECHST SCHERING AGREVO GMBH) 22 December 1994 (1994-12-22) cited in the application claims 1-7, 11-18 table 4, compounds 2.000 - 2.002, 2.006, 2.012, 2.016 <div style="text-align: center;">--- -/-</div>	1-15, 17
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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *Z* document member of the same patent family

Date of the actual completion of the international search

27 October 2003

Date of mailing of the International search report

10/11/2003

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Authorized officer

Seufert, G

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 03/08057

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		Relevant to claim No.
Category °	Citation of document, with indication, where appropriate, of the relevant passages	
X	<p>NACHTSHEIM C M ET AL: "ASYMMETRISCHE REDUKTIVE AMINIERUNG VON CYCLOALKANONEN, 7. MITT. DIE ASYMMETRISCHE SYNTHESE VON CIS-1R,2R- UND CIS-1S,2S-2-ARYLCYCLOHEXANAMIN ASYMMETRIC REDUCTIVE AMINATION OF CYCLOALKANONES, VII: ASYMMETRIC SYNTHESIS OF CIS-1R,2R- AND CIS-1S,2S-2-ARYLCYCLOHEXANAMINES" ARCHIV DER PHARMAZIE, VCH VERLAGSGESELLSCHAFT MBH, WEINHEIM, DE, vol. 322, no. 4, 1 April 1989 (1989-04-01), pages 187-197, XP000614042 ISSN: 0365-6233 page 195, left column, last paragraph - right column, last line and table 5, compounds, 4d.r, 4d.s, 4f.r, 4f.s</p>	1-5,10
X	<p>EP 0 940 387 A (TOKYO TANABE CO) 8 September 1999 (1999-09-08) examples 16-19</p>	1,10
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. Reaction ID 470534 XP002259221 abstract & SMITH ET AL.: J. ORG. CHEM., 23, 1958, pages 524-26,</p>	16
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. Reaction ID 273427, BRN 3333458 XP002259222 abstract & COPP; WALLS: J. CHEM. SOC., 1950, page 311, 315, 316</p>	16
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. Reaction ID 2206083 XP002259223 abstract & MATSUMOTO, MASAKATSU ET AL.: SYNTH. COMMUN., vol. 24, no. 10, 1994, pages 1441-46,</p>	16

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INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 03/08057

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. Reaction ID 21267 XP002259224 abstract & BELL; KENYON: J. CHEM. SOC., 1926, page 2712</p> <p style="text-align: center;">---</p>	16
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. Reaction ID 7285501 XP002259225 abstract & MIKHANT'EV; RADZIUNAS: TR., PROBL. LAB. KHIM. VYSOKOMOL. SOEDIN VORONEZH. GOS. UNIV., 3, 1964, page 14</p> <p style="text-align: center;">---</p>	16
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. Reaction ID 30776 XP002259226 abstract & TREFILOWA; POSTOWSKII: DOKL. AKAD. NAUK SSSR, 114, 1957, page 116</p> <p style="text-align: center;">---</p>	16
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. BRN 3097900 XP002259227 abstract & ARCORIA; SCARLATA: ANN. CHIM. (ROME), 58, 1968, page 32</p> <p style="text-align: center;">---</p>	16
X	<p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. BRN 7589821 XP002259228 abstract & TETRAHEDRON LETT., vol. 38, no. 3, 1997, pages 399-402,</p> <p style="text-align: center;">---</p> <p style="text-align: center;">-/-</p>	16

INTERNATIONAL SEARCH REPORT

Intern. Application No

PCT/EP 03/08057

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; DE GEE, A. J. ET AL: "Stereospecific synthesis of chiral N-(p-methoxyphenylalkyl)pyridinium" retrieved from STN Database accession no. 81:3733 XP002259229 abstract & J. CHEM. SOC., PERKIN TRANS 1: ORGANIC AND BIO-ORGANIC CHEMISTRY (1972-1999), no. 6, 1974, pages 676-9,</p>	17
X	<p>DATABASE CA 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; TRAGER, W. F.; HUITRIC, A. C. : "cis- and trans-2-(3,4,5-Trimethoxyphenyl)cyclohexyl amine" retrieved from STN Database accession no. 63:88571 XP002259230 abstract & J. PHARMACEUTICAL SCIENCE, vol. 54, no. 10, 1965, pages 1552-3,</p>	17
X	<p>US 3 803 232 A (BLATTER H ET AL) 9 April 1974 (1974-04-09) column 5, line 6 - line 7</p>	17
E	<p>WO 03 078382 A (SAKAGUCHI HIROSHI ;SUMITOMO CHEMICAL CO (JP)) 25 September 2003 (2003-09-25) abstract; examples; table 1</p>	1-16
A	<p>WO 00 41998 A (NOVARTIS ERFINDUNGEN ;KUNZ WALTER (CH); NOVARTIS AG (CH); ZELLER M) 20 July 2000 (2000-07-20) claims; examples; tables</p>	1-15
A	<p>WO 96 17840 A (AGREVO UK LTD ;DOELLER UWE (DE); BRAUN PETER (DE); SACHSE BURKHARD) 13 June 1996 (1996-06-13) cited in the application claims; examples; tables</p>	1-15

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Although the search for the intermediate compounds of claim 17 could be carried out completely, it revealed a large number of documents relevant to the issue of novelty. So many documents were retrieved that it is impossible to determine which parts of the claim may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). The search report may therefore not be considered to be complete for compounds of claim 17. The cited documents are merely an arbitrary selection of relevant documents.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP 03/08057

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☒ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/08057

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
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